

research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 15:57:03 ON 31 DEC 2007

=> file reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:57:32 ON 31 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES : 30 DEC 2007 HIGHEST RN 959750-30-2
DICTIONARY FILE UPDATES : 30 DEC 2007 HIGHEST RN 959750-30-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

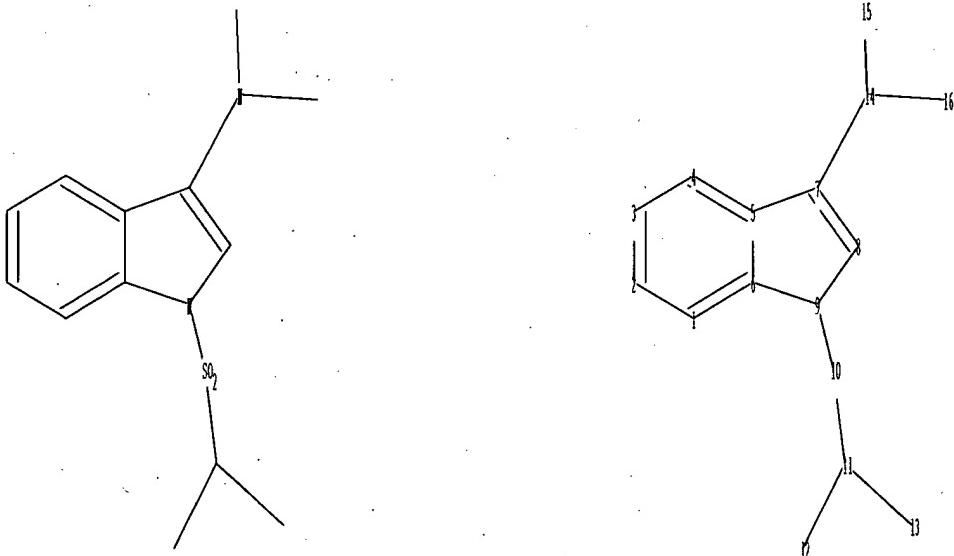
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnqen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10566400.str



chain nodes :

10 11 14

ring nodes :

```

1 2 3 4 5 6 7 8 9
ring/chain nodes :
12 13 15 16
chain bonds :
7-14 9-10 10-11 11-12 11-13 14-15 14-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-14 8-9 9-10 14-15 14-16
exact bonds :
10-11 11-12 11-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

```

Match level :

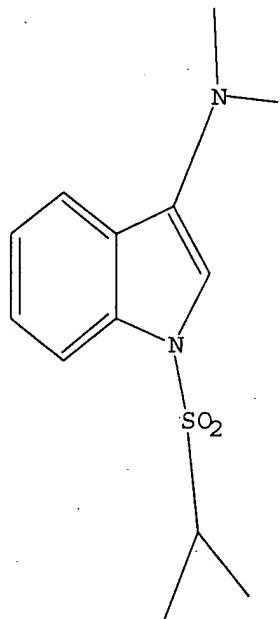
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

```

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

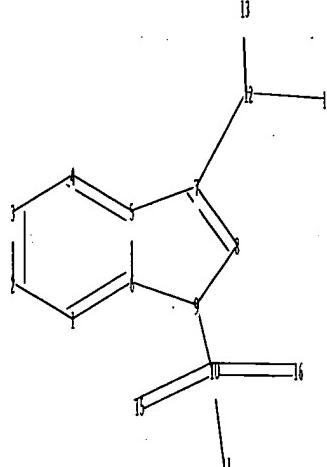
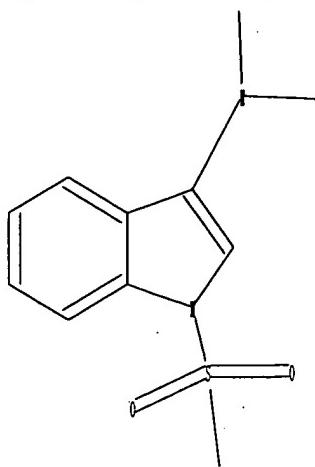
=> s 11 full
FULL SEARCH INITIATED 15:57:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 398 TO ITERATE

100.0% PROCESSED 398 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

L2 0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10566400a.str

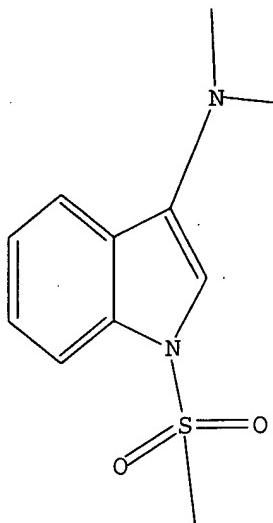


chain nodes :
10 12 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
11 13 14
chain bonds :
7-12 9-10 10-11 10-15 10-16 12-13 12-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 7-12 8-9 9-10 10-11 10-15 10-16 12-13 12-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR



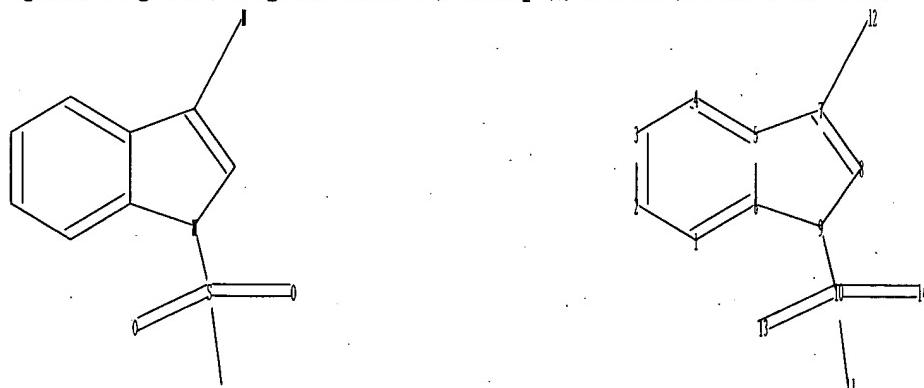
Structure attributes must be viewed using STN Express query preparation.

=> s 13 full
 FULL SEARCH INITIATED 16:08:20 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 3884 TO ITERATE

100.0% PROCESSED 3884 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L3

=>
 Uploading C:\Program Files\Stnexp\Queries\10566400b.str



chain nodes :

10 13 14

ring nodes :

1 2 3 4 5 6 7 8 9

ring/chain nodes :

11 12

chain bonds :

7-12 9-10 10-11 10-13 10-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 7-12 8-9 9-10 10-11 10-13 10-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

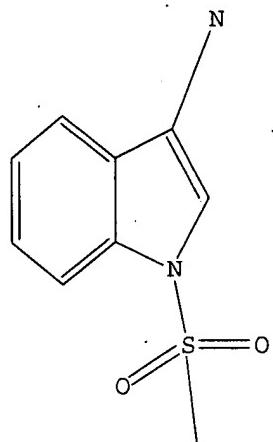
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15 full

FULL SEARCH INITIATED 16:18:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5347 TO ITERATE

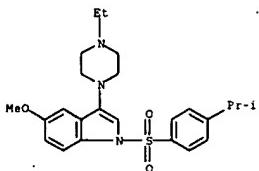
100.0% PROCESSED 5347 ITERATIONS
SEARCH TIME: 00.00.01

126 ANSWERS

L6 126 SEA SSS FUL L5

=> d 16 1-10

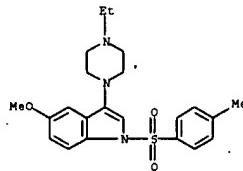
L6 ANSWER 1 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-02-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(1-methyl-ethyl)phenyl)sulfonyl]- (CA INDEX NAME)
MF C24 H31 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

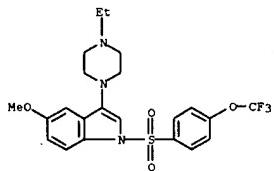
L6 ANSWER 2 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-01-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(1-methyl-phenyl)sulfonyl)- (CA INDEX NAME)
MF C22 H27 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

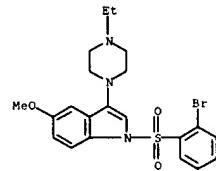
L6 ANSWER 3 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-00-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(trifluoromethoxy)phenyl)sulfonyl]- (CA INDEX NAME)
MF C22 H24 F3 N3 O4 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

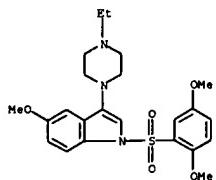
L6 ANSWER 4 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-99-4 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C21 H24 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

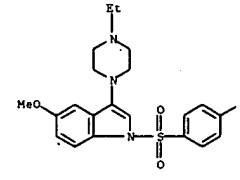
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 5 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-98-3 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C23 H29 N3 O5 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



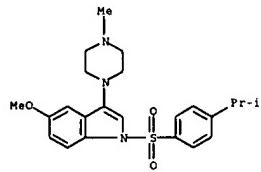
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 6 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-97-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]-5-methoxy- (CA INDEX NAME)
MF C21 H24 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



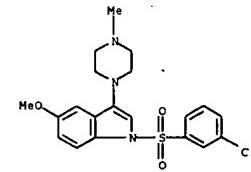
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 7 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-96-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 5-methoxy-1-[(4-(1-methylethyl)phenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C23 H29 N3 O5 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



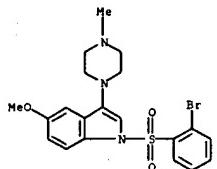
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 8 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-95-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Cl N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

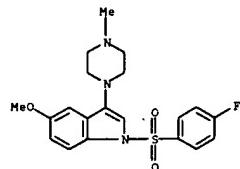
L6 ANSWER 9 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-94-9 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 10 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-93-9 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER

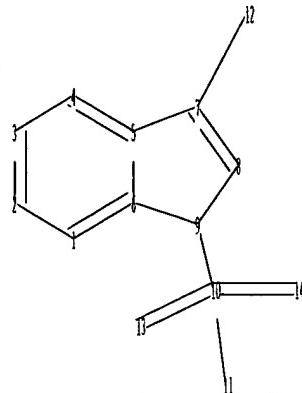
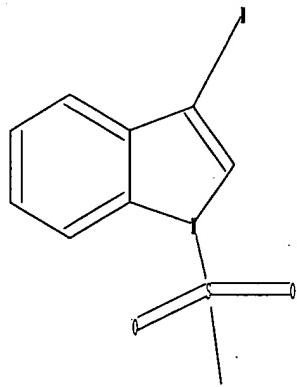


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10566400c.str



chain nodes :

10 13 14

ring nodes :

1 2 3 4 5 6 7 8 9 12

ring/chain nodes :

11

chain bonds :

7-12 9-10 10-11 10-13 10-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 7-12 8-9 9-10 10-11 10-13 10-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

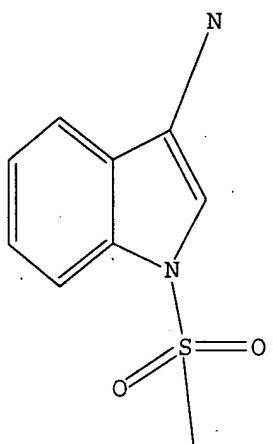
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L8 STRUCTURE UPLOADED

=> d

L8 HAS NO ANSWERS

L8 STR



Structure attributes must be viewed using STN Express query preparation.

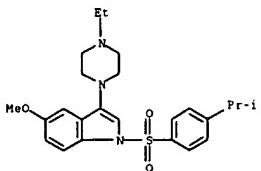
=> s 18 full
FULL SEARCH INITIATED 16:23:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5347 TO ITERATE

100.0% PROCESSED 5347 ITERATIONS 97 ANSWERS
SEARCH TIME: 00.00.01

L9 97 SEA SSS FUL L8

=> d 19 1-10

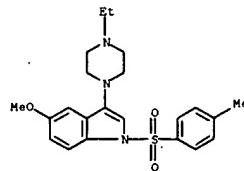
L9 ANSWER 1 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-02-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(1-methylethyl)phenyl)sulfonyl]- (CA INDEX NAME)
MF C24 H31 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

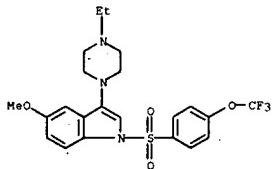
L9 ANSWER 2 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-01-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)
MF C22 H27 N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

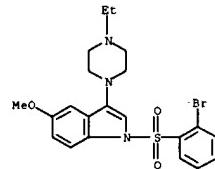
L9 ANSWER 3 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959396-00-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(trifluoromethoxy)phenyl)sulfonyl]- (CA INDEX NAME)
MF C22 H24 F3 N3 O4 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

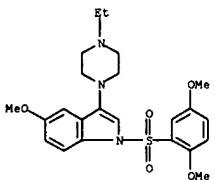
L9 ANSWER 4 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-99-4 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C21 H24 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

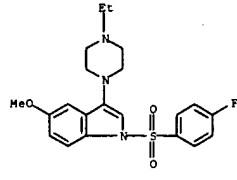
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 5 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-98-3 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)
MF C23 H29 N3 O5 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



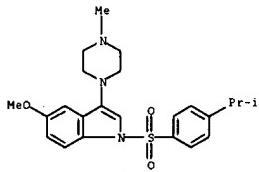
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 6 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-97-2 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]-5-methoxy- (CA INDEX NAME)
MF C21 H24 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



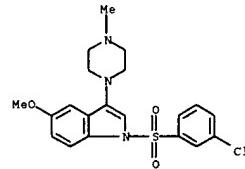
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 7 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-96-1 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 5-methoxy-1-[(4-(1-methylethyl)phenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C23 H29 N3 O5 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



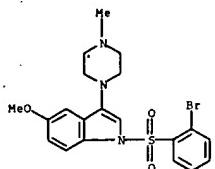
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 8 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-95-0 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Cl N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

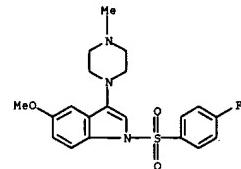
L9 ANSWER 9 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-94-9 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[{2-(2-bromophenyl)sulfonyl}-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 Br N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 10 OF 97 REGISTRY COPYRIGHT 2007 ACS on STN
RN 959395-93-8 REGISTRY
ED Entered STN: 23 Dec 2007
CN 1H-Indole, 1-[{4-fluorophenyl}sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C20 H22 F N3 O3 S
SR CA
LC STN Files: CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	198.36	772.21

FILE 'CAPLUS' ENTERED AT 16:23:55 ON 31 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 31 Dec 2007 VOL 148 ISS 1
FILE LAST UPDATED: 30 Dec 2007 (20071230/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

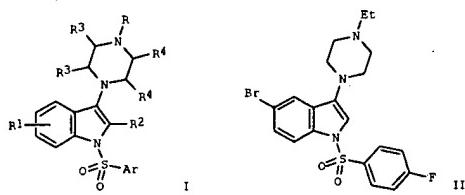
<http://www.cas.org/infopolicy.html>

=> s 19
L10 6 L9

=> d 110 1-6 ibib abs hitstr

L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007139532 CAPLUS
 TITLE: Preparation of 3-(piperazin-1-yl)-N-
 (arylsulfonyl)indoles as 5-HT₆ receptor ligands for
 the treatment of CNS disorders
 INVENTOR(S): Ramakrishna, Venkata Satya Nirogi; Shirasath, Vikas
 Shreekrishna; Kambhampati, Rama Sastry; Deshpande,
 Amol Dinkari; Daulatabad, Anand Vijaykumar; Vishwakarma,
 Santosh; Jasti, Venkateswarlu
 PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
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WO 2007138611	A1	20071206	WO 2007-INS9	20070214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LU, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RU: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, HL, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2006CH00923	A	20071207	IN 2006-CH923	20060530
PRIORITY APPLN. INFO.:			IN 2006-CH923	A 20060530
GI				



AB Title compds. I [wherein Ar = (un)substituted Ph, naphthyl, monocyclic or bicyclic ring system; R1 (one or more) = H, halo, (halo)alkyl, (halo)alkoxy, cycloalkyl or cycloalkoxy; R2 = H or (halo)alkyl; R3, R4 = H or Me] were prepared as 5-HT₆ receptor ligands. For instance, condensation of 5-bromo-1-acetylindoxyl with N-ethylpiperazine followed by deacetylation with KOH in methanol led to 3-(4-ethylpiperazin-1-yl)-5-bromoindole, which was reacted with 4-fluorobenzenesulfonyl chloride to give II. This product had a Ki value of 10.6 nM in an binding assay for

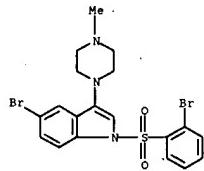
L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 human 5-HT₆ receptor. Therefore, the invented compds. and their pharmaceutical compns. are useful for the treatment of central nervous system disorders related to or affected by 5-HT₆ receptors.

IT	959395-45-0P 959395-49-4P 959395-50-7B 959395-46-1P 959395-49-4P 959395-50-7B 959395-45-3P 959395-52-2P 959395-53-0B 959395-54-1P 959395-55-2P 959395-56-3B 959395-57-4P 959395-58-5P 959395-59-6B 959395-60-9P 959395-61-0P 959395-62-1B 959395-63-2P 959395-64-3P 959395-65-4P 959395-66-5P 959395-67-6P 959395-68-7P 959395-69-8P 959395-70-1P 959395-71-2P 959395-72-3P 959395-73-4P 959395-74-5P 959395-75-6P 959395-76-7P 959395-77-8P 959395-78-9P 959395-79-0P 959395-80-3P 959395-81-4P 959395-82-5P 959395-83-6P 959395-84-7P 959395-85-8P 959395-86-9P 959395-87-0P 959395-88-1P 959395-89-2P 959395-90-5P 959395-91-6P 959395-92-7P 959395-93-8P 959395-94-9P 959395-95-0P 959395-96-1P 959395-97-2P 959395-98-3P 959395-99-4P 959396-00-0P 959396-01-1P 959396-02-2P	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
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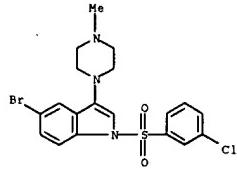


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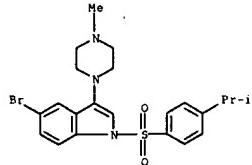
L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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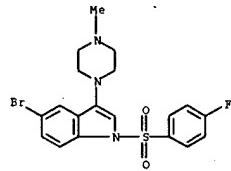


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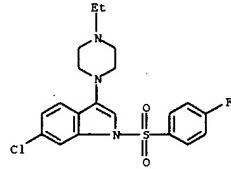


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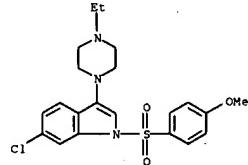
L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



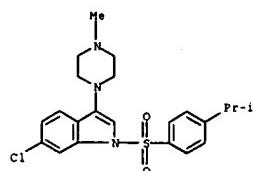
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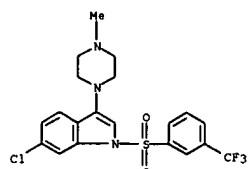
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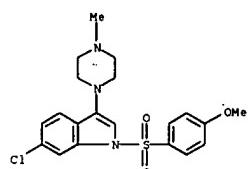
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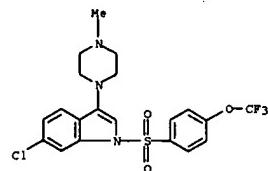
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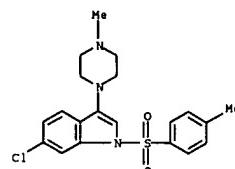
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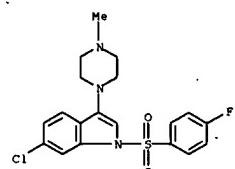
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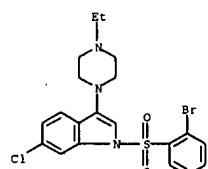
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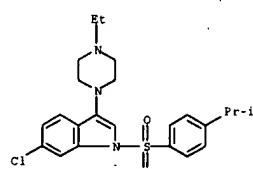
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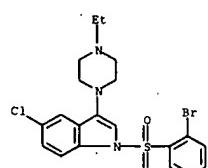
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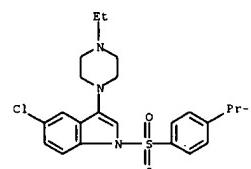
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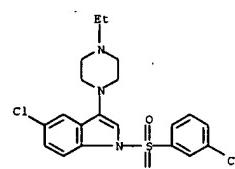
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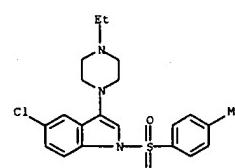
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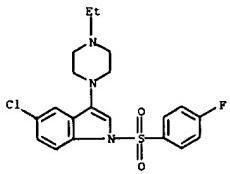
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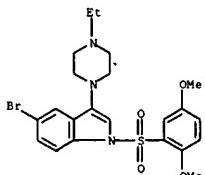
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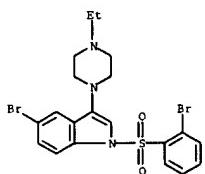
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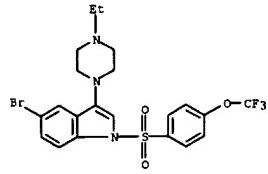
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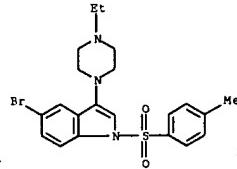
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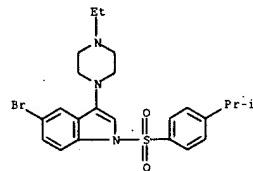
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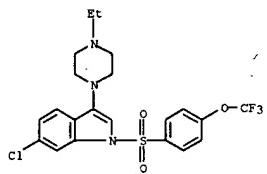
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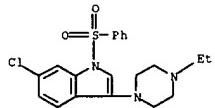
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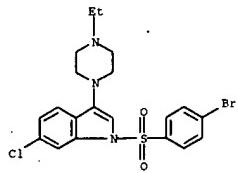
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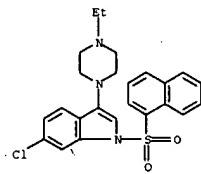
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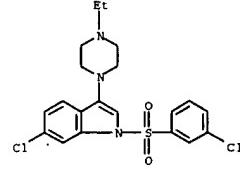
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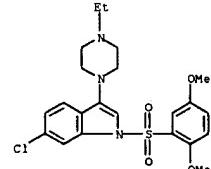
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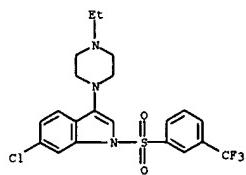
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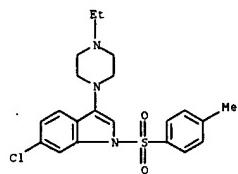
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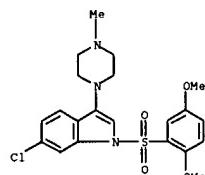
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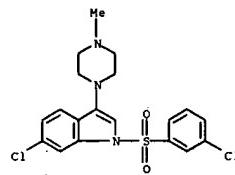
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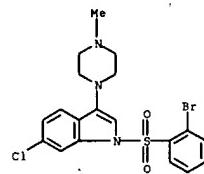
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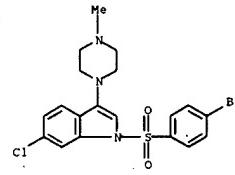
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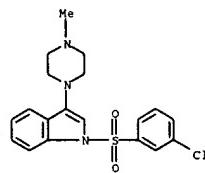
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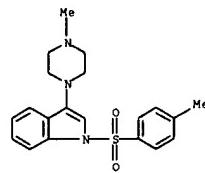
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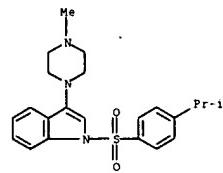
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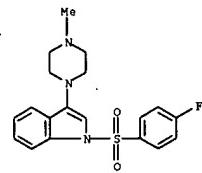
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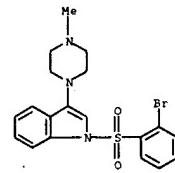
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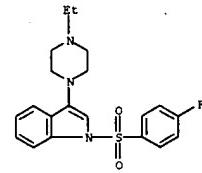
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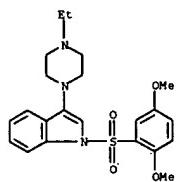
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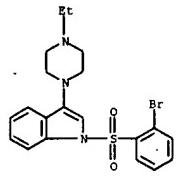
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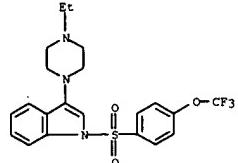
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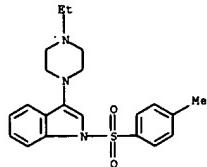
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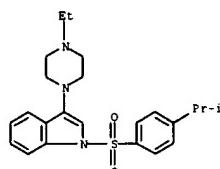
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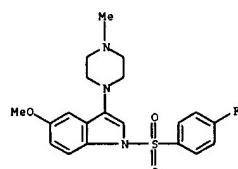
RN 959395-91-6 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[{4-methylphenyl}sulfonyl]- (CA INDEX NAME)



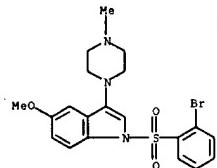
RN 959395-92-7 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[{4-(1-methylethyl)phenyl}sulfonyl]- (CA INDEX NAME)



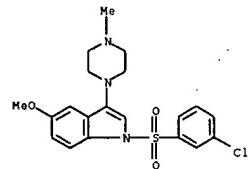
RN 959395-93-8 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[{4-fluorophenyl}sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



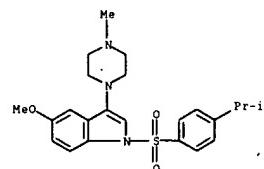
RN 959395-94-9 CAPLUS
CN 1H-Indole, 1-[{2-bromophenyl}sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



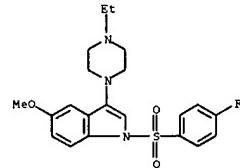
RN 959395-95-0 CAPLUS
CN 1H-Indole, 1-[{3-chlorophenyl}sulfonyl]-5-methoxy-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



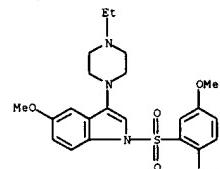
RN 959395-96-1 CAPLUS
CN 1H-Indole, 5-methoxy-1-[{4-(1-methylethyl)phenyl}sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



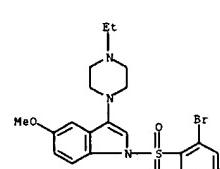
RN 959395-97-2 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-1-[{4-fluorophenyl}sulfonyl]-5-methoxy- (CA INDEX NAME)



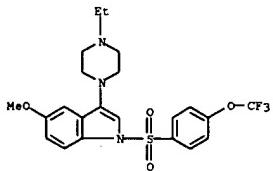
RN 959395-98-3 CAPLUS
CN 1H-Indole, 1-[{2,5-dimethoxyphenyl}sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



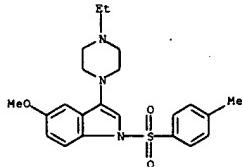
RN 959395-99-4 CAPLUS
CN 1H-Indole, 1-[{2-bromophenyl}sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



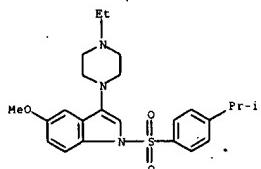
RN 959396-00-0 CAPLUS
CN 1H-Indole, 3-(4-ethyl-1-piperazinyl)-5-methoxy-1-[{4-(trifluoromethoxy)phenyl}sulfonyl]- (CA INDEX NAME)



RN 959396-01-1 CAPLUS
CN 1H-Indole, 3-[(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 959396-02-2 CAPLUS
CN 1H-Indole, 3-[(4-ethyl-1-piperazinyl)-5-methoxy-1-[(4-(1-methylethyl)phenyl)sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
invention provides methods for synthesizing compds. with such activity and selectivity, as well as methods of use and corresponding pharmaceutical compns. for treating a disorder (e.g., mood disorder and/or a cognitive disorder) in a patient, wherein the disorder is related to or affected by the SHT6 receptor. Example compd. II was prep'd. by reacting

5-bromo-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1-(phenylsulfonyl)-1H-indole (prepn. given) with pyridin-3-yboronic acid. Compds. of the invention show 5-HT6 binding activity with receptor Ki values of typically <1-100 nM. In addn., compds. of the invention show 5-HT6 functional

activity with pA2 values of >(1C50 < 1 μM).

IT 947498-43-3P, 7-[{[3-(4-Methylpiperazin-1-yl)-1H-indol-1-yl]sulfonyl}-2H-1,4-benzoxazin-3(4H)-one 947498-44-4P,

7-[{[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl}-2H-1,4-benzoxazin-3(4H)-one hydrochloride 947498-45-5P, 1-[{[3-(3-Methoxyppyridin-4-yl)-1-yl]phenyl}sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole

947498-46-6P, 1-[{[3-(3-Methoxyppyridin-4-yl)-1-yl]phenyl}sulfonyl]-3-(piperazin-1-yl)-1H-indole 947498-47-4P, 1-[{[1-Acetyl-2,3-dihydro-1H-indol-5-yl]sulfonyl}-3-(4-methylpiperazin-1-yl)-1H-indole

947498-48-5P, 1-[{[1-Acetyl-2,3-dihydro-1H-indol-5-yl]sulfonyl}-3-(piperazin-1-yl)-1H-indol-1-yl]-1H-indole 947498-49-6P,

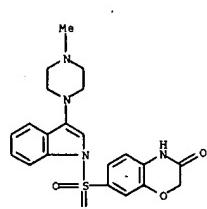
1-[{[3-(3-Methoxyppyridin-4-yl)-1-yl]sulfonyl}-2H-1,4-benzoxazin-3(4H)-one formate 947498-50-7P, 4-Methyl-1-7-[{[3-(piperazin-1-yl)-1H-indol-1-yl]sulfonyl}-3,4-dihydro-2H-1,4-benzoxazine 947498-71-7P

RL: PAC (Pharmacological activity); SPA (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic compds. having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders)

RN 947498-43-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[{[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl}- (CA INDEX NAME)



RN 947498-44-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[{[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl}-, hydrochloride (1:1) (CA INDEX NAME)

ACCESSION NUMBER: 2007:96384 CAPLUS
DOCUMENT NUMBER: 147:322994

TITLE: Preparation of heterocyclic compounds having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders

INVENTOR(S): Dunn, Robert; Nguyen, Truc Minh; Xie, Wenge; Tehim, Ashok

PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 179pp.

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

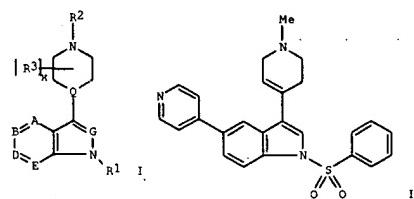
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098418	A1	20070830	WO 2007-US62340	200707216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KR, KR, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TH, TR, TZ, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DX, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GA, QG, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MU, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AH, AZ, BY, KG, KZ, MD, RU, TJ, TH

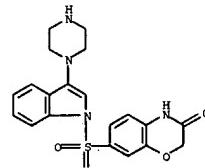
PRIORITY APPLN. INFO.: US 2006-774399P P 20060217

OTHER SOURCE(S): MARPAT 147:322994

GI

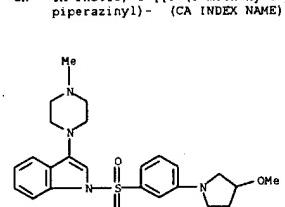


AB: The present disclosure provides compds. having affinity for the SHT6 receptor which are of the formula I (wherein A, B, D, E and G are CH, CR or NR --- represents a single bond or a double bond; Q is C, CH, or N) x = 0-4; R1 is SO2Ar where Ar is a ring or ring system; R2 is H, (un)substituted alkyl, cycloalkyl, etc.; R3 is H or (un)substituted alkyl; R4 is halo, NO2, (un)substituted alkyl, etc.). Still further, the present

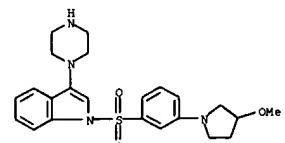


● HC1

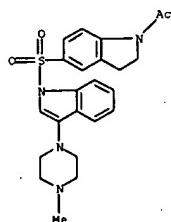
RN 947498-45-5 CAPLUS
CN 1H-Indole, 1-[{[3-(3-methoxy-1-pyrrolidinyl)phenyl]sulfonyl}-3-(4-methyl-1-



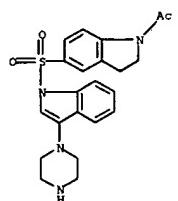
RN 947498-46-6 CAPLUS
CN 1H-Indole, 1-[{[3-(3-methoxy-1-pyrrolidinyl)phenyl]sulfonyl}-3-(1-piperazinyl)- (CA INDEX NAME)



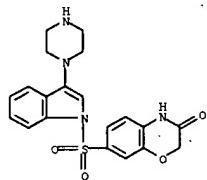
RN 947498-52-4 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[(3-(4-methyl-1-piperazinyl)-1H-indol-1-yl)sulfonyl]-1H-indol-1-yl]- (CA INDEX NAME)



RN 947498-54-6 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-1H-indol-1-yl]- (CA INDEX NAME)



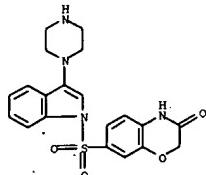
RN 947498-55-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 947498-56-8 CAPLUS
CN Formic acid, compd. with 7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CM 1

CRN 947498-55-7
CMF C20 H20 N4 O4 S

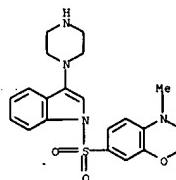


CM 2

CRN 64-18-6
CMF C H2 O2

 C=CH-OH

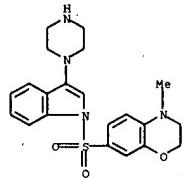
RN 947498-57-9 CAPLUS
CN 2H-1,4-Benzoxazine, 3,4-dihydro-4-methyl-7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 947498-71-7 CAPLUS
CN Formic acid, compd. with 3,4-dihydro-4-methyl-7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazine (1:1) (CA INDEX NAME)

CM 1

CRN 947498-57-9
CMF C21 H24 N4 O3 S



CM 2

CRN 64-18-6
CMF C H2 O2

 C=CH-OH

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:638844 CAPLUS
DOCUMENT NUMBER: 143:133274

TITLE: Preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators

INVENTOR(S): Allen, Jennifer Rebecca; Amegadzie, Albert Kudzovi; Gardinier, Kevin Matthew; Gregory, George Stuart; Hitchcock, Steven Andrew; Hoogestraat, Paul J.; Jones, Winton Dennis, Jr.; Smith, Daryl Lynn

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

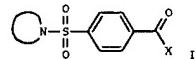
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066126	A1	20050721	WO 2004-US39763	20041213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004312311	A1	20050721	AU 2004-312311	20041213
CA 2549396	A1	20050721	CA 2004-2549396	20041213
EP 1699761	A1	20060913	EP 2004-812310	20041213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, SE, HU, PL, SK, BA, HR, IS, YU				
BR 2004018079	A	20070417	BR 2004-18079	20041213
JP 2007519631	T	20070719	JP 2006-547015	20041213
US 2007088018	A1	20070419	US 2006-596495	20060615
US 7276516	B2	20071002		
MX 2006PA07199	A	20060914	MX 2006-PA7199	20060622
NO 2006003381	A	20060920	NO 2006-3381	20060721
PRIORITY APPLN. INFO.: ..			US 2003-53247P	20031223
OTHER SOURCE(S): GI			WO 2004-US39763	W 20041213



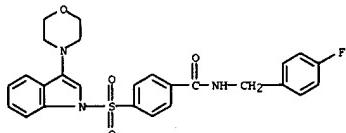
AB Title compds. I [the nitrogen containing ring = indolyl, pyrrolopyridinyl, etc.; X = amino, etc.] are prepared. For instance, N-(4-Fluorobenzyl)-4-[(3-phenylpyrrolo[3,2-c]pyridine-1-yl)sulfonyl]benzamide is prepared from

L10 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 3-Phenyl-1H-pyrrolo[3,2-c]pyridine (prepn. given) and 4-(4-Fluorobenzylcarbamoyl)benzenesulfonyl chloride (THF, KOBu-t, 16 h). Compds. of the invention exhibit IC₅₀ ≤ 5 μM for the CB1 and CB2 receptors. They are useful in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders (e.g., multiple sclerosis, Guillain-Barré syndrome and the inflammatory sequelae of viral encephalitis), cerebral vascular accidents, head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, and schizophrenia. They are also useful for the treatment of substance abuse disorders, particularly to opiates, alc., and nicotine and for the treatment of obesity or eating disorders assoc'd. with excessive food intake and complications assoc'd. therewith.

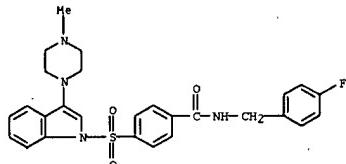
IT 859165-17-6P, N-(4-Fluorobenzyl)-4-[3-(morpholin-4-yl)indole-1-sulfonyl]benzamide; 859165-19-P, N-(4-Fluorobenzyl)-4-[3-(4-methylpiperazin-1-yl)indole-1-sulfonyl]benzamide; 859165-19-8P, N-(4-Fluorobenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide; 859166-95-3P, N-(4-Fluoro-3-methoxybenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide Hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators)

RN 859165-17-6 CAPLUS
 CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[(3-(4-morpholinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



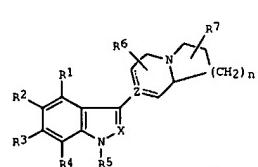
RN 859165-18-7 CAPLUS
 CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[(3-(4-methyl-1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 859165-19-8 CAPLUS

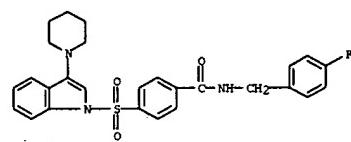
L10 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001:468208 CAPLUS
 DOCUMENT NUMBER: 135:61353
 TITLE: Preparation of bicyclic piperidine and piperazine compounds having 5-HT₆ receptor affinity
 INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok; Qiao, Qi
 PATENT ASSIGNEE(S): Npco Allelix Corp., Can.
 SOURCE: U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 97,008.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6251893	B1	20010626	US 1998-156495	19990818
CA 2335285	A1	19991223	CA 1999-2335285	19990610
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	A	20000105	AU 1999-42531	19990610
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI	T	20030812	JP 2000-554731	19990610
JP 2003523922	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
ES 2209525	T3	20040616	ES 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008	A2 19980615
			US 1998-156495	A 19980918
OTHER SOURCE(S): GI			WO 1999-CA543	W 19990610

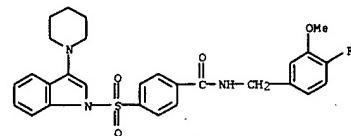


AB Title compds. I [R₁-R₄ = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoxyloxy, NO₂, CN,

L10 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[(3-(1-piperidinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 859166-95-3 CAPLUS
 CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[(3-(1-piperidinyl)-1H-indol-1-yl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

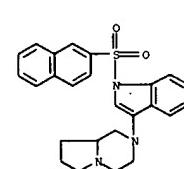


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

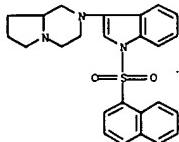
L10 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 (un)substituted Ph, furyl, thieryl, OPh, NH₂, CONH₂, SO₂NH₂, CH₂SO₂NH₂, CO₂H, NHCHO, NHCH:NH, C:(NH)NH₂, acyl, acyloxy, SCF₃, SO₂CF₃, CHO, CF₃, OCFS₃; R₅ = SO₂Ar, COAr, Ar, CH₂Ar; R₆ = H, alkyl, (un)substituted Ph, CH₂Ph, OPh, OCH₂Ph; n = 1-3; X: CR₈, N; R₈ = H, alkyl, CH₂Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thieryl, furanyl, naphthyl, quinolyl, isoquinolyl were prep'd. as 5-HT₆ receptor inhibitors for treatment of diseases such as schizophrenia. Thus, 1-acetyl-3-indoline was treated with 1,4-diazabicyclo[4.3.0]non-4-yl-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT_{2A}, 5-HT_{2C}, and 5-HT₇ receptors.

IT 252891-58-0P 252891-59-1P 252891-60-4P
 252891-61-5P 252891-62-6P 252891-63-7P
 252891-64-8P 252891-65-9P 252891-66-0P
 252891-67-1P 252891-68-2P 252891-69-3P
 252891-70-6P 252891-71-7P 252891-72-8P
 252891-73-9P 252891-74-0P 252891-75-1P
 252891-76-2P 252891-77-3P 252891-78-4P
 252891-79-5P 252891-80-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of bicyclic piperidine and piperazine compds. as 5-HT₆ receptor antagonists)

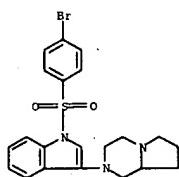
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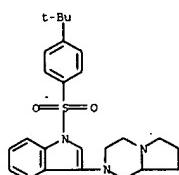
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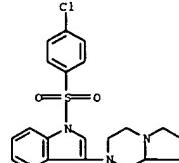
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



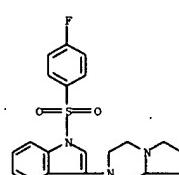
RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[(4-(1,1-dimethylethyl)phenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



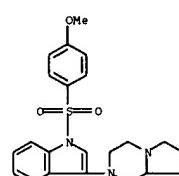
RN 252891-62-6 CAPLUS
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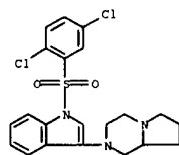
RN 252891-63-7 CAPLUS
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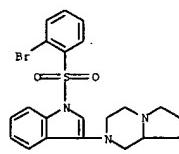
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-[(4-methoxyphenyl)sulfonyl]-1-[(4-methoxyphenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



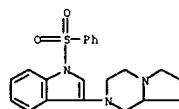
RN 252891-65-9 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



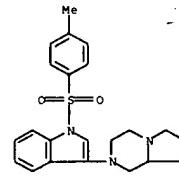
RN 252891-66-0 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



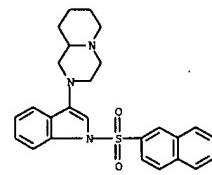
RN 252891-67-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



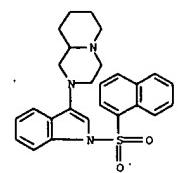
RN 252891-68-2 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



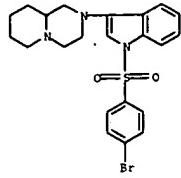
RN 252891-69-3 CAPLUS
CN 1H-Indole, 1-[(2-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



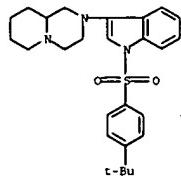
RN 252891-70-6 CAPLUS
CN 1H-Indole, 1-[(1-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



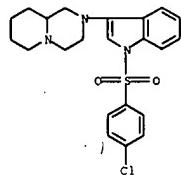
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



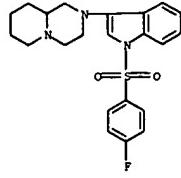
RN 252891-72-9 CAPLUS
CN 1H-Indole, 1-[(4-(1,1-dimethylethyl)phenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



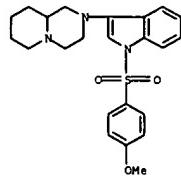
RN 252891-73-9 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



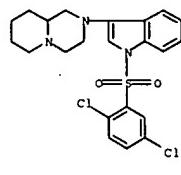
RN 252891-74-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



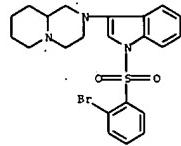
RN 252891-75-1 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



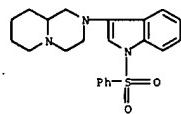
RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



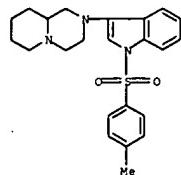
RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



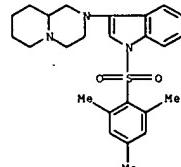
RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



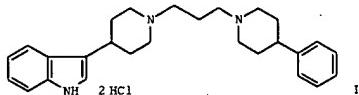
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2000:911215 CAPLUS
 DOCUMENT NUMBER: 134:71490
 TITLE: Preparation and effect of indole derivatives as
 α 1B-adrenergic receptor antagonists
 INVENTOR(S): Miyashita, Ryoji; Ohmori, Eiji; Isogaya, Masafumi;
 Morikawa, Mitsuhiro; Kumagai, Hiroki
 PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
 SOURCE: PCT Int. Appl., 187 pp.
 CODEN: PIIXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078716	A1	20001228	WO 2000-JP4068	20000622
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2341542	A1	20001228	CA 2000-2341542	20000622
AU 2000055670	A	20010109	AU 2000-55670	20000622
EP 1106605	A1	20010613	EP 2000-940789	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6642228	B1	20031104	US 2001-763684	20010413
PRIORITY APPLN. INFO.:			JP 1999-178170	A 19990624
			WO 2000-JP4068	W 20000622

OTHER SOURCE(S): MARPAT 134:71490

GI



AB Title compds. [ArBNR1(CO)nAQ; Ar is indole or the like; R1 is hydrogen or the like; B is a bond or B-N-R1 may form a ring structure such as piperidine; n is 0, 1 or the like; A is trimethylene, butylene, or the like; and Q is piperidine, isoindoline, or the like] and pharmacol. acceptable acid addition salts are prepared as α 1B-adrenergic receptor antagonists. Title compds. and the salts serve as antagonists having a high affinity for α 1B-adrenergic receptor and are useful as drugs to be used in the prevention and/or treatment of α 1B-adrenergic receptor-related diseases (such as hypertension) or as pharmacol. tools for the elucidation of physiol. actions occurring through α 1B-adrenergic receptor. Thus, the title compound I was prepared and tested.

IT 314083-22-2P

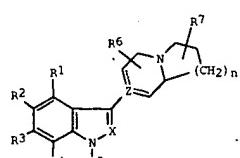
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and effect of indole derivs. as α 1B-adrenergic receptor antagonists)

RN 314083-22-2 CAPLUS

L10 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999:811242 CAPLUS
 DOCUMENT NUMBER: 132:49982
 TITLE: Bicyclic piperidine and piperazine compounds having SHT6 receptor affinity
 INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok
 PATENT ASSIGNEE(S): Allelix Biopharmaceuticals Inc., Can.
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIIXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

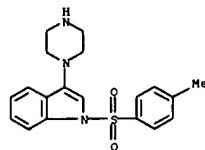
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	A1	19991223	CA 1999-2335285	19990610
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003523922	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008	A 19980615
			US 1998-156495	A 19980918
			WO 1999-CA543	W 19990610

OTHER SOURCE(S): MARPAT 132:49982
 GI



AB Title compds. I (R1-R4 = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl,

L10 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN 1H-Indole, 1-(4-methylphenyl)sulfonyl]-3-(1-piperazinyl)- (CA INDEX NAME).



REFERENCE COUNT: 89 THERE ARE 89 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 cycloalkyl, cycloalkoxy, cycloalkylthio, alkancyl, alkancyloxy, NC2, CN,
 (un)substituted Ph, furyl, thiényl, OPh, NH2, CONH2, SO2NH2, CH2SO2NH2,
 CO2H, NHCHO, NHCH:NH, C:(NH)NH2, acyl, acyloxy, SCF3, SO2CF3, CHO, CF3,
 OCF3, R5 = SO2Ar, COAr, Ar, CH2Ar; R6 = H, alkyl, (un)substituted Ph,
 CH2Ph; R7 = 1-3; X = CR8, Ni: R8 = H, alkyl, CH2Ph; Z = C, CH; N: Ar =
 (un)substituted Ph, pyridyl, thiényl, furanyl, naphthyl, quinolyl,
 isoquinolyl) were prep'd. for use as inhibitors of the 5-HT6 receptor.
 Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]non-4-yl-1H-indole
 and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole
 which was converted to the 1-(2-naphthalenesulfonyl) deriv. with
 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80%
 inhibition of the 5-HT6 receptor and <20% inhibition of the 5-HT2A,
 5-HT2C, and 5-HT7 receptors.

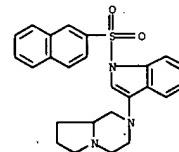
IT 252891-58-0P 252891-59-1P 252891-60-4P
 252891-61-5P 252891-62-6P 252891-63-7P
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 252891-67-1P 252891-68-2P 252891-69-3P
 252891-70-6P 252891-71-7P 252891-72-8P
 252891-73-9P 252891-74-0P 252891-75-1P
 252891-76-2P 252891-77-3P 252891-78-4P
 252891-79-5P 252891-80-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

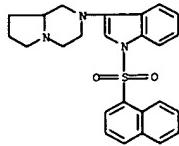
(preparation of bicyclic piperidine and piperazine compds. as SHT6 receptor antagonists)

RN 252891-58-0 CAPLUS

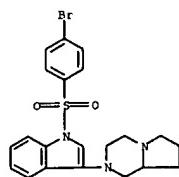
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



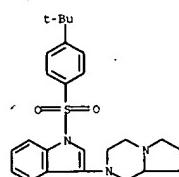
RN 252891-59-1 CAPLUS
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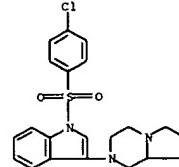
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[{4-(4-bromophenyl)sulfonyl}-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl}- (9CI) (CA INDEX NAME)



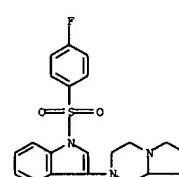
RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[{4-(1,1-dimethylethyl)phenyl}sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



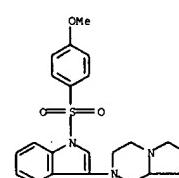
RN 252891-62-6 CAPLUS
CN 1H-Indole, 1-[{4-(4-chlorophenyl)sulfonyl}-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl}- (9CI) (CA INDEX NAME)



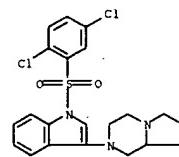
RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[{4-(4-fluorophenyl)sulfonyl}-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl}- (9CI) (CA INDEX NAME)



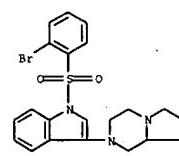
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[{4-methoxyphenyl}sulfonyl]- (9CI) (CA INDEX NAME)



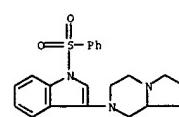
RN 252891-65-9 CAPLUS
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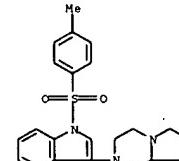
RN 252891-66-0 CAPLUS
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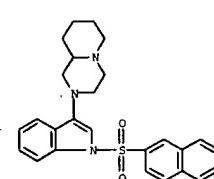
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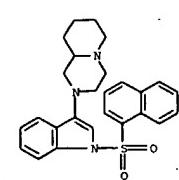
RN 252891-68-2 CAPLUS
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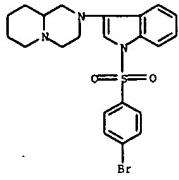
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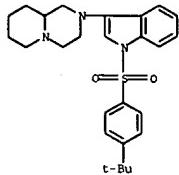
RN 252891-70-6 CAPLUS
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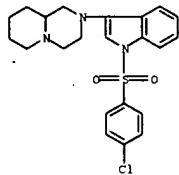
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[{4-bromophenyl}sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



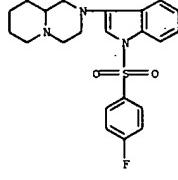
RN 252891-72-8 CAPLUS
CN 1H-Indole, 1-[{4-(1,1-dimethylethyl)phenyl}sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



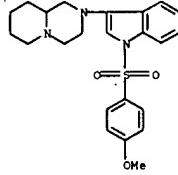
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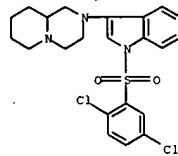
RN 252891-74-0 CAPLUS
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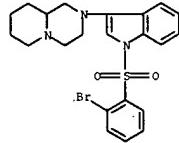
RN 252891-75-1 CAPLUS
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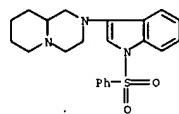
RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[{2,5-dichlorophenyl}sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



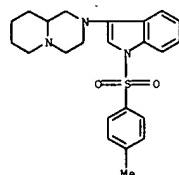
RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[{2-bromophenyl}sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



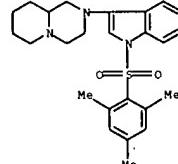
RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[{4-methylphenyl}sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

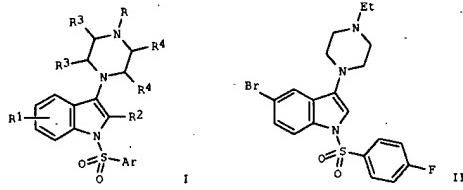


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 20071395332 CAPLUS
 TITLE: Preparation of 3-(piperazin-1-yl)-N-(arylsulfonyl)indoles as 5-HT₆ receptor ligands for the treatment of CNS disorders
 INVENTOR(S): Ramakrishna, Venkata Satya Mirogi; Shiraseth, Vikas; Shreekrishna; Kambhatpati, Rama Sastry; Deshpande, Amol Dinkar; Daulatbad, Anand Vijaykumar; Vishwakarma, Santosh; Jasti, Venkateswaru
 PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
 SOURCE: PCT Int. Appl., 44pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138611	A1	20071206	WO 2007-1N59	20070214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HK, HO, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
W: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UC, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2006CH00923	A	20071207	IN 2006-CH923	20060530
PRIORITY APPLN. INFO.:			IN 2006-CH923	A 20060530

GI



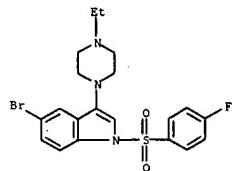
AB Title compds. I [wherein Ar = (un)substituted Ph, naphthyl, monocyclic or bicyclic ring system; R1 (one or more) = H, halo, (halo)alkyl, (halo)alkoxy, cycloalkyl or cycloalkoxy; R2 = H or (halo)alkyl; R3, R4 = H or Me] were prepared as 5-HT₆ receptor ligands. For instance, condensation of 5-bromo-1-acetylindoxyl with N-ethylpiperazine followed by deacetylation with KOH in methanol led to 3-(4-ethylpiperazin-1-yl)-5-

L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 bromindole, which was reacted with 4-fluorobenzenesulfonyl chloride to give II. This product had a Ki value of 10.6 nM in an binding assay for human 5-HT₆ receptor. Therefore, the invented compds. and their pharmaceutical compns. are useful for the treatment of central nervous system disorders related to or affected by 5-HT₆ receptors.

IT 959395-48-0P 959395-46-1P 959395-47-2P
 959395-51-8P 959395-52-9P 959395-53-0P
 959395-54-1P 959395-55-2P 959395-56-3P
 959395-57-4P 959395-58-5P 959395-59-6P
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 959395-66-5P 959395-67-6P 959395-68-7P
 959395-69-8P 959395-70-1P 959395-71-2P
 959395-72-3P 959395-73-4P 959395-74-5P
 959395-75-6P 959395-76-7P 959395-77-8P
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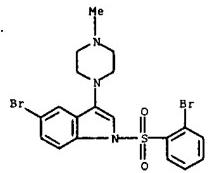
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of piperazinyl arylsulfonylindoles as 5-HT₆ receptor ligands for treatment of CNS disorders)

RN 959395-45-2 CAPLUS
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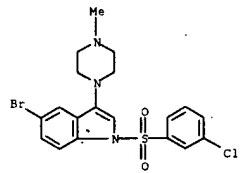


RN 959395-46-1 CAPLUS
 CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

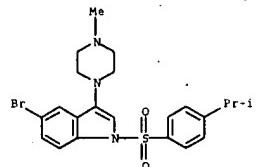
L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 959395-47-2 CAPLUS
 CN 1H-Indole, 5-bromo-1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

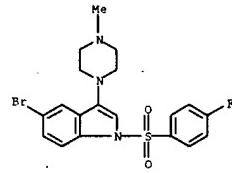


RN 959395-48-3 CAPLUS
 CN 1H-Indole, 5-bromo-1-[(4-(1-methylethyl)phenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

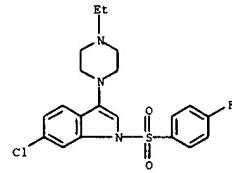


RN 959395-49-4 CAPLUS
 CN 1H-Indole, 5-bromo-1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

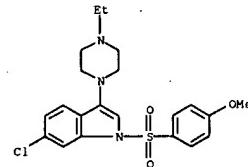
L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



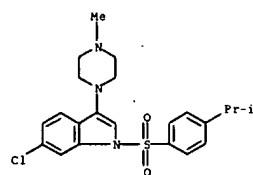
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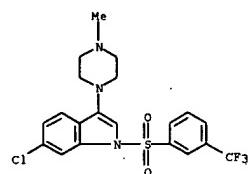
RN 959395-51-8 CAPLUS
 CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methoxyphenyl)sulfonyl]- (CA INDEX NAME)



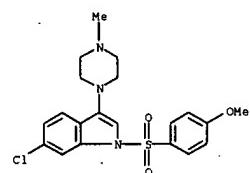
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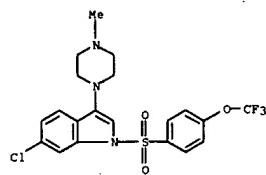
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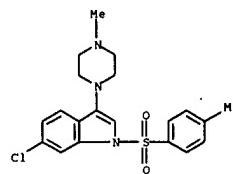
RN 959395-54-1 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-methoxyphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



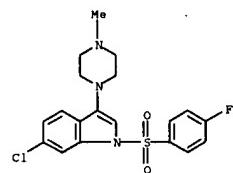
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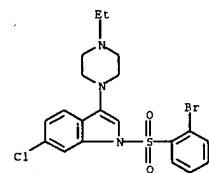
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CN 1H-Indole, 6-chloro-1-[(4-methylphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



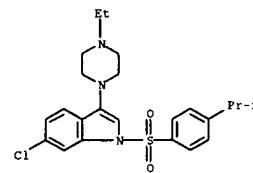
RN 959395-57-4 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



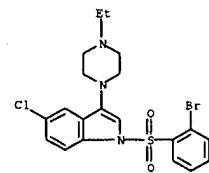
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CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-6-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



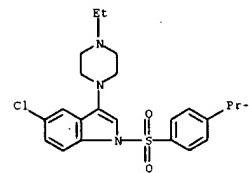
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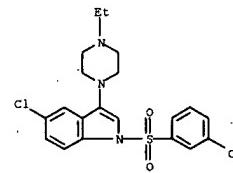
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CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-5-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



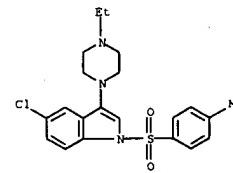
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CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-(1-methylethyl)phenyl)sulfonyl]- (CA INDEX NAME)



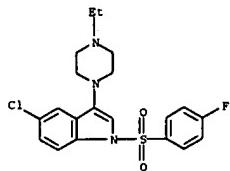
RN 959395-62-1 CAPLUS
CN 1H-Indole, 5-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



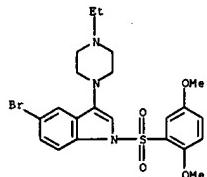
RN 959395-63-2 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



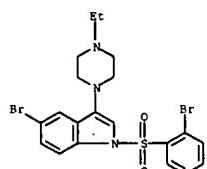
RN 959395-64-3 CAPLUS
CN 1H-Indole, 5-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



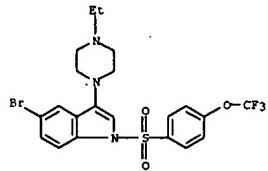
RN 959395-65-4 CAPLUS
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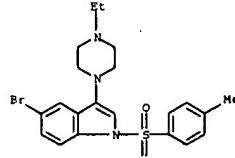
RN 959395-66-5 CAPLUS
CN 1H-Indole, 5-bromo-1-[(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



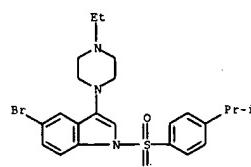
RN 959395-67-6 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-(trifluoromethoxy)phenyl)sulfonyl]- (CA INDEX NAME)



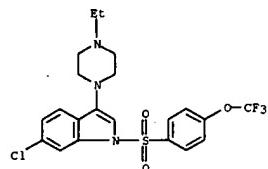
RN 959395-68-7 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



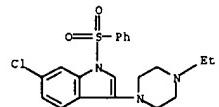
RN 959395-69-8 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-(1-methylethyl)phenyl)sulfonyl]- (CA INDEX NAME)



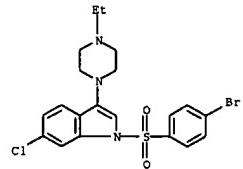
RN 959395-70-1 CAPLUS
CN 1H-Indole, 5-bromo-3-(4-ethyl-1-piperazinyl)-1-[(4-(trifluoromethoxy)phenyl)sulfonyl]- (CA INDEX NAME)



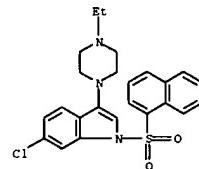
RN 959395-71-2 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)- (CA INDEX NAME)



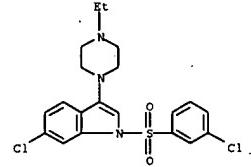
RN 959395-72-3 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-6-chloro-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



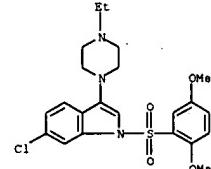
RN 959395-73-4 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-(1-naphthalenylsulfonyl)- (CA INDEX NAME)



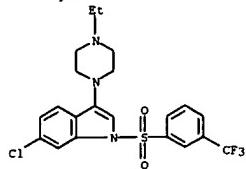
RN 959395-74-5 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(3-chlorophenyl)sulfonyl]- (CA INDEX NAME)



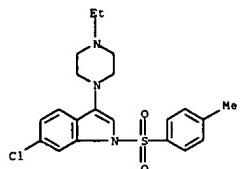
RN 959395-75-6 CAPLUS
CN 1H-Indole, 6-chloro-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)- (CA INDEX NAME)



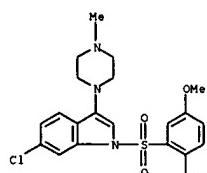
RN 959395-76-7 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(trifluoromethyl)phenylsulfonyl]- (CA INDEX NAME)



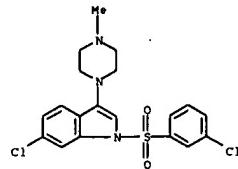
RN 959395-77-8 CAPLUS
CN 1H-Indole, 6-chloro-3-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



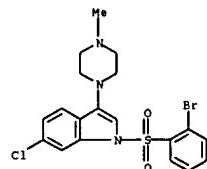
RN 959395-78-9 CAPLUS
CN 1H-Indole, 6-chloro-1-[(2,5-dimethoxyphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



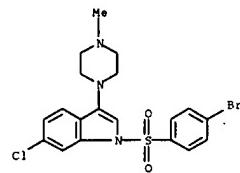
RN 959395-79-0 CAPLUS
CN 1H-Indole, 6-chloro-1-[(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



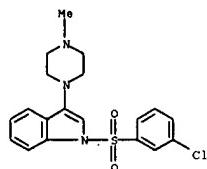
RN 959395-80-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-6-chloro-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



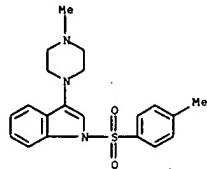
RN 959395-81-4 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-6-chloro-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



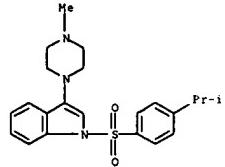
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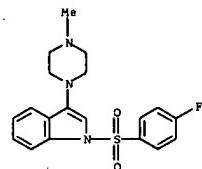
RN 959395-83-6 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



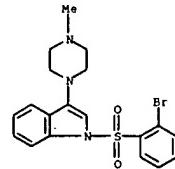
RN 959395-84-7 CAPLUS
CN 1H-Indole, 1-[(4-ethyl-1-piperazinyl)phenylsulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



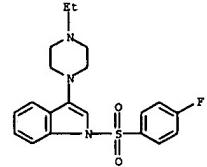
RN 959395-85-8 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



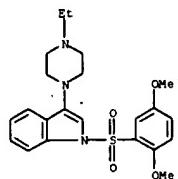
RN 959395-86-9 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



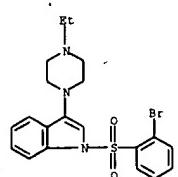
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CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



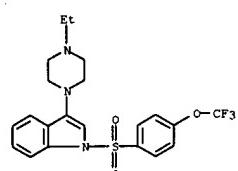
RN 959395-88-1 CAPLUS
CN 1H-Indole, 1-[(4-ethyl-1-piperazinyl)(4-fluorophenyl)sulfonyl]- (CA INDEX NAME)



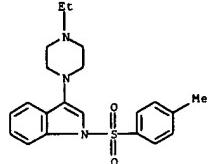
RN 959395-89-2 CAPLUS
CN 1H-Indole, 1-[{(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



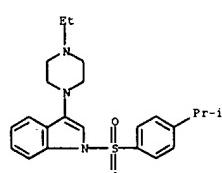
RN 959395-90-5 CAPLUS
CN 1H-Indole, 1-[{(4-ethyl-1-piperazinyl)sulfonyl]-3-(4-(trifluoromethoxy)phenyl)- (CA INDEX NAME)



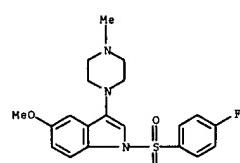
RN 959395-91-6 CAPLUS
CN 1H-Indole, 1-[{(4-ethyl-1-piperazinyl)sulfonyl]-3-(4-methylphenyl)- (CA INDEX NAME)



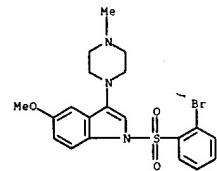
RN 959395-92-7 CAPLUS
CN 1H-Indole, 1-[{(4-ethyl-1-piperazinyl)sulfonyl]-1-[{(4-1-methylethyl)phenyl}sulfonyl]- (CA INDEX NAME)



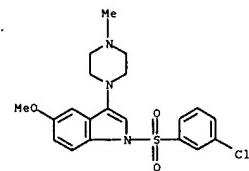
RN 959395-93-8 CAPLUS
CN 1H-Indole, 1-[{(4-fluorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)- (CA INDEX NAME)



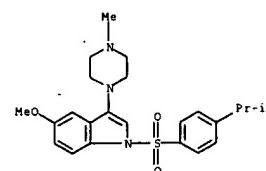
RN 959395-94-9 CAPLUS
CN 1H-Indole, 1-[{(2-bromophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



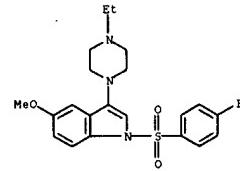
RN 959395-95-0 CAPLUS
CN 1H-Indole, 1-[{(3-chlorophenyl)sulfonyl]-3-(4-methyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



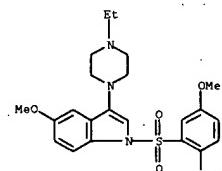
RN 959395-96-1 CAPLUS
CN 1H-Indole, 1-[{(4-1-methylethyl)phenyl}sulfonyl]-3-(4-methyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



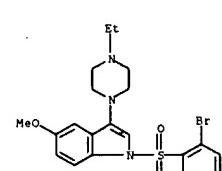
RN 959395-97-2 CAPLUS
CN 1H-Indole, 1-[{(4-ethyl-1-piperazinyl)sulfonyl]-3-(4-fluorophenyl)-5-methoxy- (CA INDEX NAME)



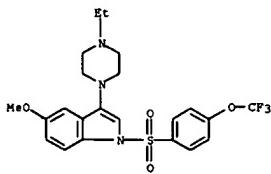
RN 959395-98-3 CAPLUS
CN 1H-Indole, 1-[{(2,5-dimethoxyphenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



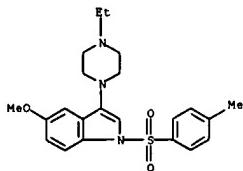
RN 959395-99-4 CAPLUS
CN 1H-Indole, 1-[{(2-bromophenyl)sulfonyl]-3-(4-ethyl-1-piperazinyl)-5-methoxy- (CA INDEX NAME)



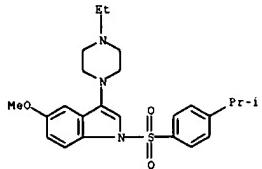
RN 959396-00-0 CAPLUS
CN 1H-Indole, 1-[{(4-ethyl-1-piperazinyl)sulfonyl]-3-(4-fluorophenyl)-5-methoxy- (CA INDEX NAME)



RN 959396-01-1 CAPLUS
CN 1H-Indole, 3-(4-ethoxy-1-piperazinyl)-5-methoxy-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 959396-02-2 CAPLUS
CN 1H-Indole, 3-(4-ethoxy-1-piperazinyl)-5-methoxy-1-[(4-(1-methylethyl)phenyl)sulfonyl]- (CA INDEX NAME)



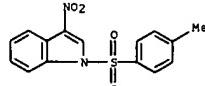
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007-1052456 CAPLUS
DOCUMENT NUMBER: 147-522178
TITLE: Multicomponent Domino [4+2]/[3+2] Cycloadditions of Nitroheteroaromatics: An Efficient Synthesis of Fused Nitrogenated Polycycles
AUTHOR(S): Chaignier, Isabelle; Piettre, Serge R.
CORPORATE SOURCE: Université de Rouen, UMR CNRS 6014, Mont Saint Aignan, F-76821, Fr
SOURCE: Organic Letters (2007), 9(21), 4159-4162
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Activation by high pressure allows 3-nitroindole and 3-nitropyrrrole derivs. to behave as electron-poor heterodiene in multicomponent domino [4+2]/[3+2] cycloaddn. processes. The primary [4+2] inverse demand cycloaddn. appears to be completely endo selective, while the subsequent [3+2] process shows a total facial selectivity, setting the stereochem. at ring junction, and an endo/exo selectivity depending on the nature of the heterocycle. A polycyclic diamine featuring a quaternary center at ring junction is efficiently generated in two steps.

IT 228412-76-8, 3-Nitro-1-tosyl-1H-indole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fused nitrogenated polycycles via multicomponent domino cycloaddns. of nitrogen heterocycles with alkene derivs.)

RN 228412-76-8 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2007-963884 CAPLUS
DOCUMENT NUMBER: 147-322994

TITLE: Preparation of heterocyclic compounds having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders

INVENTOR(S): Dunn, Robert; Nguyen, Truc Minh; Xie, Wengen; Tehim, Ashok

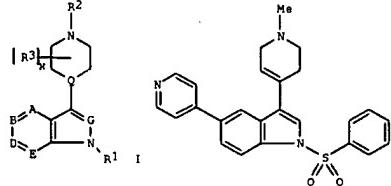
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 179pp.

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098418	A1	20070830	WO 2007-0562340	20070216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW			
RU:	BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BV, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:	US 2006-774399P	P 20060217		
OTHER SOURCE(S):	MARPAT 147:322994			
GI				



AB The present disclosure provides compds. having affinity for the SHT6 receptor which are of the formula I (wherein A, B, D, E and G are CH, CR4 or N; --- represents a single bond or a double bond; Q is C, CH, or N; x = 0-4; R1 is SO2Ar where Ar is a ring or ring system; R2 is H, (un)substituted alkyl, cycloalkyl, etc.; R3 is H or (un)substituted alkyl; R4 is halo, NO2, (un)substituted alkyl, etc.). Still further, the present

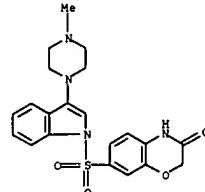
L7 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
invention provides methods for synthesizing compds. with such activity and selectivity, as well as methods of and corresponding pharmaceutical compns. for treating a disorder (e.g., a mood disorder and/or a cognitive disorder) in a patient, wherein the disorder is related to or affected by the SHT6 receptor. Example compd. II was prep'd. by reacting 5-bromo-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1-(phenylsulfonyl)-1H-indole (prep'n. given) with pyridin-3-ylboronic acid. Compds. of the invention show 5-HT6 binding activity with receptor Ki values of typically <100 nM. In addn., compds. of the invention show 5-HT6 functional activity with pA2 values of >6 (IC50 <1 μM).

IT 947498-43-3P, 7-[(3-(4-Methylpiperazin-1-yl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-44-4P, 7-[(3-(Piperazin-1-yl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 947498-45-5P, 1-[(3-(3-Methoxypyrrrolidin-1-yl)phenyl)sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-46-6P, 1-[(3-(3-Methoxypyrrrolidin-1-yl)phenyl)sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-47-7P, 1-[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-3-(4-methylpiperazin-1-yl)-1H-indole 947498-54-6P, 1-[(1-Acetyl-2,3-dihydro-1H-indol-5-yl)sulfonyl]-3-(piperazin-1-yl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-56-8P, 7-[(3-(Piperazin-1-yl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazin-3(4H)-one formate 947498-57-9P, 4-Methyl-7-[(3-(piperazin-1-yl)-1H-indol-1-yl)sulfonyl]-3,4-dihydro-2H-1,4-benzoxazine 947498-71-7P

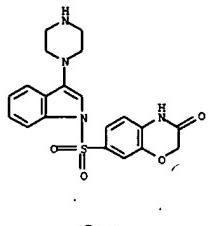
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic compds. having 5-HT6 receptor

affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders)

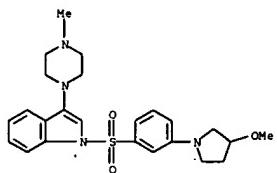
RN 947498-43-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[(3-(4-methyl-1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



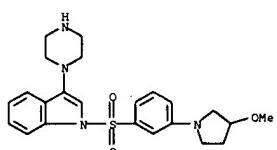
RN 947498-44-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)



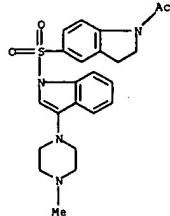
RN 947498-45-5 CAPLUS
CN 1H-Indole, 1-[(3-(3-methoxy-1-pyrrolidinyl)phenylsulfonyl)-3-(4-methyl-1-piperazinyl)-1H-indol-1-yl] - (CA INDEX NAME)



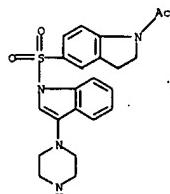
RN 947498-46-6 CAPLUS
CN 1H-Indole, 1-[(3-(3-methoxy-1-pyrrolidinyl)phenylsulfonyl)-3-(1-piperazinyl)-1H-indol-1-yl] - (CA INDEX NAME)



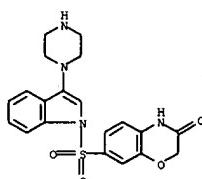
RN 947498-52-4 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[(3-(4-methyl-1-piperazinyl)-1H-indol-1-yl)sulfonyl]-1H-indol-1-yl] - (CA INDEX NAME)



RN 947498-54-6 CAPLUS
CN Ethanone, 1-[2,3-dihydro-5-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-1H-indol-1-yl] - (CA INDEX NAME)



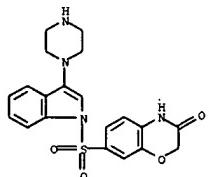
RN 947498-55-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 947498-56-8 CAPLUS
CN Formic acid, compd. with 7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CM 1

CRN 947498-57-7
CMF C20 H20 N4 O4 S

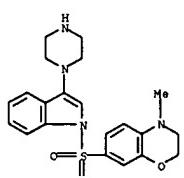


CM 2

CRN 64-18-6
CMF C H2 O2

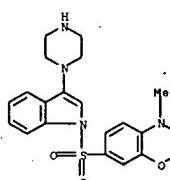
$\text{O}=\text{CH}-\text{OH}$

RN 947498-57-9 CAPLUS
CN 2H-1,4-Benzoxazine, 3,4-dihydro-4-methyl-7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 947498-71-7 CAPLUS
CN Formic acid, compd. with 3,4-dihydro-4-methyl-7-[(3-(1-piperazinyl)-1H-indol-1-yl)sulfonyl]-2H-1,4-benzoxazine (1:1) (CA INDEX NAME)

CRN 947498-57-9
CMF C21 H24 N4 O3 S



CM 2

CRN 64-18-6
CMF C H2 O2

$\text{O}=\text{CH}-\text{OH}$

REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:592002 CAPLUS

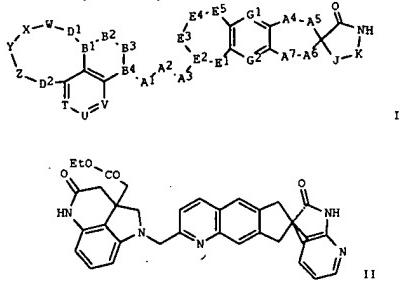
DOCUMENT NUMBER: 147:31116
TITLE: Preparation of spiro-hydantoin aryl CGRP receptor antagonists
INVENTOR(S): Bell, Ian M.; Stump, Craig A.; Theberge, Cory R.; Gallicchio, Steven N.; Zartman, C. Blair; Selnick, Harold G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 176pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007061692	A2	20070531	WO 2006-US44181	20061114
WO 2007061692	A3	20071129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HV, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LX, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.: US 2005-737977P P 20051118

OTHER SOURCE(S): MARPAT 147:31116

GI



L7 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

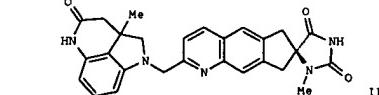
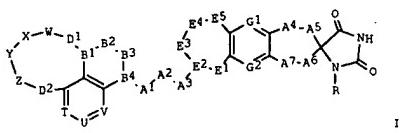
ACCESSION NUMBER: 2007:590575 CAPLUS
DOCUMENT NUMBER: 147:31106
TITLE: Preparation of spiro-hydantoin aryl CGRP receptor antagonists
INVENTOR(S): Bell, Ian M.; Stump, Craig A.; Theberge, Cory R.; Gallicchio, Steven N.; Zartman, C. Blair
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 174pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007061694	A2	20070531	WO 2006-US44190	20061114
WO 2007061694	A3	20071206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HV, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LX, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.: US 2005-738332P P 20051118

OTHER SOURCE(S): MARPAT 147:31106

GI



AB Spiro-cyclic imidazoloquinoline compds. I, wherein A1-A3 are independently a bond, substituted C and N, substituted C-N, alkoxyl, -C.tpbond.C-,

L7 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Spiro-cyclic imidazoloquinoline compds. I, wherein A1-A3 are independently a bond, substituted C and N, substituted C-N, alkoxyl, -C.tpbond.C-, -C(O)-, substituted C=C; one or two of A1-A3 are absent; A4-A7 are independently a bond, substituted C, one of A4-A7 is O, -C(O)-, NH, substituted N; E1 and E5 are independently -C(O)-, -C(S)-, substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, E3 and E4 are independently absent, a bond, -C(O)-, substituted C and N, -N-, -NO-, -O-, E2 is substituted C and N; G1 and G2 are independently substituted C and N; B2 and B3 are independently absent, a bond, substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, B1 and B4 are independently substituted C and N; B2 and B3 are independently absent, a bond, substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine J is -C(O)-, substituted C-C, -C(S)-, -N-, -O-, -S-, -SO2-, imine T is -C(O)-, -SO2-, -N-, -C(O)-, -C(S)-, -N-, -O-, -S-, -SO2-, imine V are independently substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine W, X, Y, Z are independently absent, a bond, substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine T, U, V are independently substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine R is prepared as CGRP receptor antagonists (no bio. data). Thus, spiro-cyclic imidazoloquinoline II was prepared by the reaction of (S)-2'-oxo-1,1',2',6,8-tetrahydro-spiro[cyclopenta[g]quinoline-7,3'-pyrrolo[2,3-b]pyridine]-2-carboxaldehyde, with Et [4-oxo-1,2,4,5-tetrahydro-pyrrolo[4,3-2-de]quinolin-2-(3H)-yl]acetate in presence of sodium triacetoxyborohydride. A method for antagonism of CGRP receptor activity in a mammal which comprises the administration of an effective amount of title compds., was claimed. A method of treating or preventing migraine headaches, cluster headaches, and headaches, said method comprising the co-administration, to a person in need of such treatment, and a therapeutically effective amount of a second agent selected from serotonin agonists, analgesics, antiinflammatory agents, antihypertensives and anticonvulsants, is claimed.

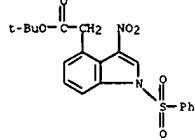
IT 880087-01-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of spiro-hydantoin aryl CGRP receptor antagonists)

RN 880087-01-4 CAPLUS

CN 1H-Indole-4-acetic acid, 3-nitro-1-(phenylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



L7 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Spiro-cyclic imidazoloquinoline compds. I, wherein A1-A3 are independently a bond, substituted C and N, substituted C-N, alkoxyl, -C.tpbond.C-, -C(O)-, substituted C=C; one or two of A4-A7 are independently a bond, substituted C, one of A4-A7 is O, -C(O)-, NH, substituted N; E1 and E5 are independently -C(O)-, -C(S)-, substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, E3 and E4 are independently absent, a bond, -C(O)-, substituted C and N, -N-, -NO-, -O-, E2 is substituted C and N; G1 and G2 are independently substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine J is -C(O)-, substituted C-C, -C(S)-, -N-, -O-, -S-, -SO2-, imine T, U, V are independently substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine W, X, Y, Z are independently absent, a bond, substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine T, U, V are independently substituted C and N, -N-, -NO-, -O-, -S-, -SO2-, imine R is prepared as CGRP receptor antagonists (no bio. data). Thus, spiro-cyclic imidazoloquinoline II was prepared by the reaction of 2a-methyl-2a,5-dihydropyrrolo[4,3-2-de]quinoline-2,4(1H,3H)-dione, with (7R)-2-(chloromethyl)-3'-methyl-6,8-dihydro-2'H,5'H-spirocyclopenta[g]quinoline-7,4'-imidazolidin-2',5'-dione in presence of trifluoroacetic acid. A method for antagonism of CGRP receptor activity in a mammal which comprises the administration of an effective amt. of title compds., was claimed. A method of treating or preventing migraine headaches, cluster headaches, and headaches, said method comprising the co-administration, to a person in need of such treatment, and a therapeutically effective amt. of a second agent selected from serotonin agonists, analgesics, antiinflammatory agents, antihypertensives and anticonvulsants, is claimed.

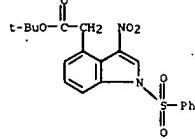
IT 880087-01-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

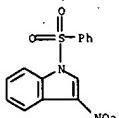
(preparation of spiro-hydantoin aryl CGRP receptor antagonists)

RN 880087-01-4 CAPLUS

CN 1H-Indole-4-acetic acid, 3-nitro-1-(phenylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

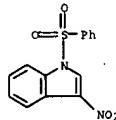


L7 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:377965 CAPLUS
 DOCUMENT NUMBER: 146:431869
 TITLE: 3-Nitro-1-(phenylsulfonyl)-1H-indole
 AUTHOR(S): Roy, Sujata; Pelkey, Erin T.; Gribble, Gordon W.; Jasinski, Jerry P.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755-3564, USA
 SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2007), E63(4), o1929-o1931
 CODEN: ACSEEH; ISSN: 1600-5368
 URL: http://journals.iucr.org/e/issues/2007/04/00/tk2144/tk2144.pdf
 PUBLISHER: Blackwell Publishing Ltd.
 DOCUMENT TYPE: Journal; (online computer file)
 LANGUAGE: English
 AB The crystal structure of the title compound, C₁₄H₁₀N₂O₄S, confirms the position of the nitro group at position C-3 of the indole ring system. While the nitro group is coplanar with the indole ring system, the angle between the indole and Ph planes is 83.9(3)°. Crystal data: monoclinic, P₂/n, $a = 14.4741(2)$, $b = 4.8664(1)$, $c = 18.9508(2)$ Å, $\beta = 110.403(5)^\circ$, $Z = 4$, 3587 observed reflections with I > 2σ(I), 190 refined parameters, $R(F^2) = 0.032$, $wR(F^2) = 0.087$ at $T = 100(2)$ K.
 IT 116325-19-0
 RL: RPP (Properties)
 (crystal and mol. structure of)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

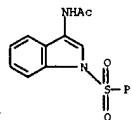


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:194791 CAPLUS
 DOCUMENT NUMBER: 146:401772
 TITLE: Convenient synthesis of masked aminoindoles by indium mediated one-pot reductive acylation of 3- and 2-nitroindoles
 AUTHOR(S): Roy, Sujata; Gribble, Gordon W.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Heterocycles (2006), 70, 51-56
 CODEN: HTCYAM; ISSN: 0385-5414
 PUBLISHER: Japan Institute of Heterocyclic Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:401772
 AB Unstable 3- and 2-aminoindoles are generated *in situ* by indium mediated reduction of 3- and 2-nitroindoles and capped as the stable amides (or carbamates) in moderate to high yields under mild conditions in a one-pot procedure.
 IT 116325-19-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of masked aminoindoles by indium-mediated reductive acylation of nitroindoles)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



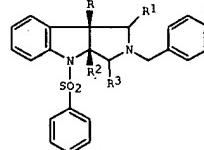
IT 933800-34-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of masked aminoindoles by indium-mediated reductive acylation of nitroindoles)
 RN 933800-34-1 CAPLUS
 CN Acetamide, N-(1-(phenylsulfonyl)-1H-indol-3-yl)- (CA INDEX NAME)



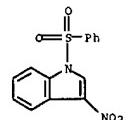
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:122039 CAPLUS
 DOCUMENT NUMBER: 146:358729
 TITLE: 1,3-Dipolar cycloaddition of 2- and 3-nitroindoles with azomethine ylides. A new approach to pyrrolo[3,4-b]indoles
 AUTHOR(S): Roy, Sujata; Kishbaugh, Tara L. S.; Jasinski, Jerry P.; Gribble, Gordon W.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Tetrahedron Letters (2007), 48(8), 1313-1316
 CODEN: TLEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:358729
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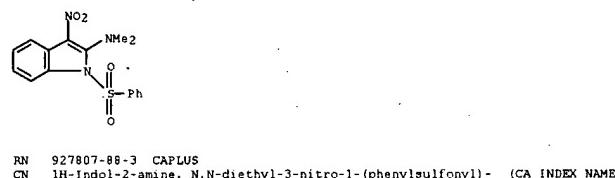
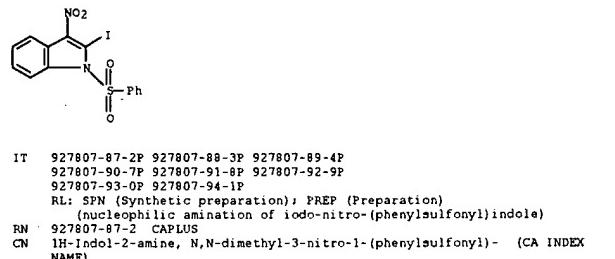


AB The 1,3-dipolar cycloaddn. of unstabilized azomethine ylides with 2- and 3-nitroindoles furnishes the expected hexahydropyrrolo[3,4-b]indole cycloadducts in good to excellent yields. The cycloadducts can be denitrated with Bu3SnH/AIBN, and cycloadduct I ($\text{R} = \text{NO}_2$, $\text{R}_1 = \text{R}_2 = \text{R}_3 = \text{H}$) was oxidized with MnO2 to yield the known pyrrolo[3,4-b]indole I ($\text{R}_1 = \text{R}_2\text{R}_3 = \text{bond}$). Addnl., the crystal structure of 2-methyl-4-phenylsulfonyl-1,2,3,4-tetrahydropyrrolo[3,4-b]indole is presented [triclinic, space group P-1, $a = 9.2250(3)$, $b = 9.2313(3)$, $c = 10.7440(4)$ Å, $\alpha = 78.2570(10)$, $\beta = 79.8050(10)$, $\gamma = 65.7290(10)$, $V = 812.14(5)$ Å³, $Z = 2$].
 IT 116325-19-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pyrroloindoles by dipolar cycloaddn. of nitroindoles with azomethine ylides)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

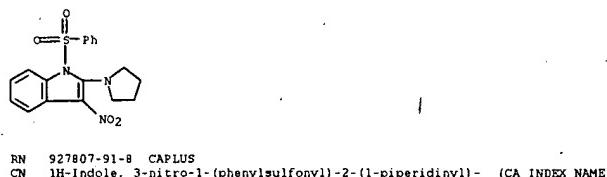
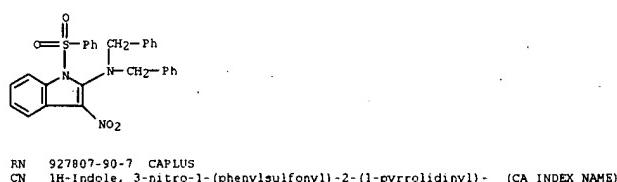
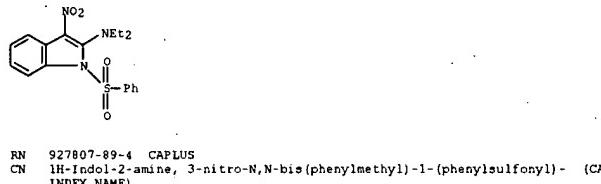


L7 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

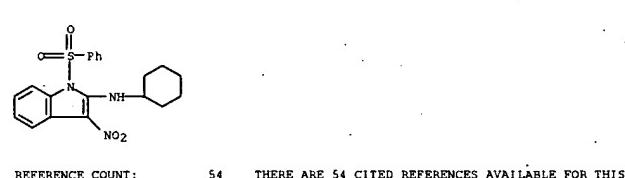
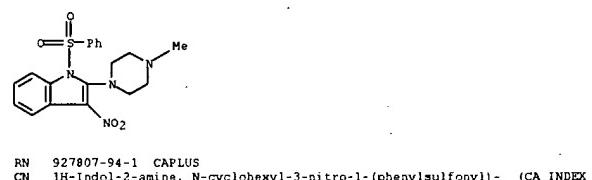
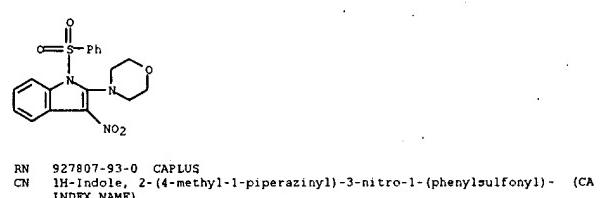
L7 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007-79512 CAPLUS
 DOCUMENT NUMBER: 146-295711
 TITLE: Nucleophilic amination of 2-iodo-3-nitro-1-(phenylsulfonyl)indole
 AUTHOR(S): Roy, Sujata; Gribble, Gordon W.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Tetrahedron Letters (2007), 48(6), 1003-1005
 CODEN: TELENY; ISSN: 0040-4039
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:295711
 AB: The reaction of 2-iodo-3-nitro-1-(phenylsulfonyl)indole with amines affords the corresponding 2-amino-3-nitroindoles in excellent yields via nucleophilic aromatic substitution.
 IT 927807-86-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (nucleophilic amination)
 RN 927807-86-1 CAPLUS
 CN 1H-Indole, 2-iodo-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



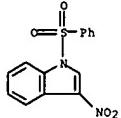
L7 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L7 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L7 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 20061261543 CAPLUS
DOCUMENT NUMBER: 146:184387
TITLE: Novel electrophilic ipso acylation-datosylation
reaction of pyrroles
AUTHOR(S): Pelkey, Erin T.; Gribble, Gordon W.
CORPORATE SOURCE: Department of Chemistry, Burke Laboratory, Dartmouth
College, Hanover, NH, 03755, USA
SOURCE: Canadian Journal of Chemistry (2006), 84(10),
1338-1342
CODEN: CJCHAG; ISSN: 0008-4042
PUBLISHER: National Research Council of Canada
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:184387
AB A pyrrole and two pyrroloindoles that are substituted with a p-toluenesulfonyl group undergo an ipso acylation-datosylation reaction with acid chlorides and aluminum chloride to afford the corresponding acyl-substituted pyrroles and pyrroloindoles. E.g., reaction of 2-acetyl-2-(p-tosylyl)pyrrole with AcCl/AlCl₃ gave 64% 2-acetyl-4-ethoxypyrrole.
IT 116325-19-0
RN: AcCl (Reactant); RACT (Reactant or reagent)
(preparation of tosylated pyrroles and pyrroloindoles)
RN 116325-19-0 CAPLUS
CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:269581 CAPLUS
 DOCUMENT NUMBER: 144:312071
 TITLE: Preparation of tricyclic anilide spirolactam CGRP receptor antagonists
 INVENTOR(S): Bell, Ian M.; Galicchio, Steven N.; Stump, Craig A.; Thaberge, Cory R.; Vacca, Joseph P.; Zartman, C.; Blair, Zhang, Xufang
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 121 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

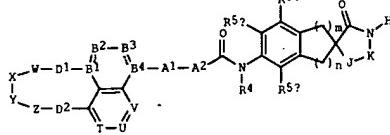
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006031491	A2	20060323	WO 2005-US31617	20050906
WO 2006031491	A3	20061109		
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AU 2005285270	A1	20060323	AU 2005-285270	20050906
CA 2579717	A1	20060323	CA 2005-2579717	20050906
EP 1793827	A2	20070613	EP 2005-796847	20050906
CN 101014345	A	20070808	CN 2005-80029991	20050906
IN 2007DN01195	A	20070803	IN 2007-DN1195	20070213

PRIORITY APPLN. INFO.:

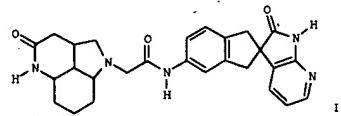
OTHER SOURCE(S): MARPAT 144:312071

GI

L7 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

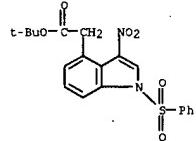
AB Title compds. I [A1 and A2 independently = bond or CR13R14, where one of A1 and A2 is optionally absent; B1 and B4 independently = C when double bond present, CR1 or N; B2 and B3 independently = bond; CR1R2, CO, CS, O, S, etc., where one of B2 and B3 is optionally absent; D1 and D2 independently = O, S, SO2, CR1R2, CO, etc.; J = CR(R6a)-; CR13R14, and CO; K = -C(R6b), CR13R14, CO, etc.; T, U and V independently = -C(R1)-, and -N-, wherein at least one of T, U, and V = -C(R1)-; W, X, Y, and Z = bond, CR1R2, CS, O, etc.; R1 and R2 = H, (un)substituted alkyl, cycloalkyl, alkyln, etc.; R4 = H, (un)substituted alkyl, benzyl, etc.; R5a, R5b, and R5c = H, alkyl, alkoxy, halo, etc.; R6a = H, OH, halo, CN, (un)substituted alkyl, etc.; R3 and R4 = H, OH, halo, and (un)substituted alkyl m = 1 or 2; n = 1 or 2], and their pharmaceutically acceptable salts, useful as antagonists of calcitonin gene-related peptide (CGRP) receptors and useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. Thus, e.g., II was prepared by reaction of

(-) -5-amino-1,3-dihydropyro[indene-2,3'-pyrrolo[2,3-b]pyridin]-2' (1H)-one (preparation given) with lithium (4-oxo-2a,3,4,5-tetrahydropyrrolo[4,3-d]quinoxalin-1(2H)-yl)acetate (preparation given). I demonstrated activity as antagonists of the CGRP receptor with Ki or IC50 values generally less than about 50 μ M. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.

IT RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 880087-01-4 CAPLUS
 CN 1H-Indole-4-acetic acid, 3-nitro-1-(phenylsulfonyl)-, 1,1-dimethylethyl-ester (CA INDEX NAME)

L7 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

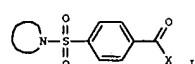


L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:638844 CAPLUS

DOCUMENT NUMBER: 143:133274
 TITLE: Preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators
 INVENTOR(S): Allen, Jennifer Rebecca; Amegadzie, Albert Kudzovi; Gardinier, Kevin Matthew; Gregory, George Stuart; Hitchcock, Steven Andrew; Hoogestraat, Paul J.; Jones, Winton Dennis, Jr.; Smith, Daryl Lynn; Eli Lilly and Company, USA
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 204 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066126	A1	20050721	WO 2004-US39763	20041213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LP, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004312311	A1	20050721	AU 2004-312311	20041213
CA 2549396	A1	20050721	CA 2004-2549396	20041213
EP 1699761	A1	20060913	EP 2004-812310	20041213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
BR 2004018079	A	20070417	BR 2004-18079	20041213
JP 2007519631	T	20070719	JP 2006-547015	20041213
US 2007088018	A1	20070419	US 2006-596495	20060615
US 7276516	B2	20071002		
HE 2006PA07199	A	20060914	MX 2006-PA7199	20060622
NO 2006003381	A	20060920	NO 2006-3381	20060721

PRIORITY APPLN. INFO.: MARPAT 143:133274
 OTHER SOURCE(S): GI



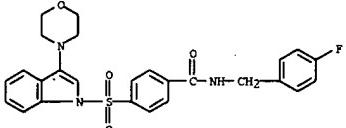
AB Title compds. I [the nitrogen containing ring = indolyl, pyrrolopyridinyl, etc., X = amino, etc.] are prepared. For instance, N-(4-Fluorobenzyl)-4-[(3-phenylpyrrolo[3,2-c]pyridine-1-yl)sulfonyl]benzamide is prepared from 3-Phenyl-1H-pyrrolo[3,2-c]pyridine (preparation given) and 4-(4-Fluorobenzylcarbamoyl)benzenesulfonyl chloride (THF, KOBu-t, 16 h).

L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 Compds. of the invention exhibit IC₅₀ < 5 μ M for the CB1 and CB2 receptors. They are useful in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders (e.g., multiple sclerosis, Guillain-Barré syndrome) and the inflammatory sequelae of viral encephalitis), cerebral vascular accidents, head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease and schizophrenia. They are also useful for the treatment of substance abuse disorders, particularly to opiates, alc., and nicotine and for the treatment of obesity or eating disorders assoc. with excessive food intake and complications assoc. therewith.

IT 859165-17-6P, N-(4-Fluorobenzyl)-4-[3-(morpholin-4-yl)indole-1-sulfonyl]benzamide 859165-18-7P, N-(4-Fluorobenzyl)-4-[3-(4-methyl(piperazin-1-yl)indole-1-sulfonyl)benzamide 859165-19-8P, N-(4-Fluorobenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide 859166-95-3P, N-(4-Fluoro-3-methoxybenzyl)-4-[3-(piperidin-1-yl)indole-1-sulfonyl]benzamide Hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);
 (preparation of arylsulfonyl-substituted indoles as CB1 receptor modulators)

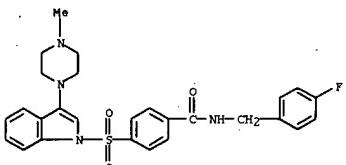
RN 859165-17-6 CAPLUS

CN Benzamide, N-[{4-(4-fluorophenyl)methyl]-4-[(3-(4-morpholinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 859165-18-7 CAPLUS

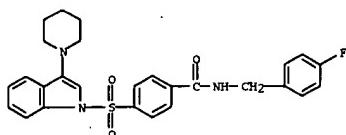
CN Benzamide, N-[{4-(4-fluorophenyl)methyl]-4-[(3-(4-methyl-1-piperazinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 859165-19-8 CAPLUS

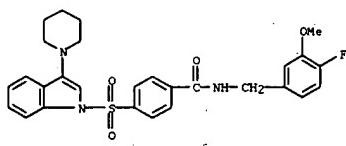
CN Benzamide, N-[{4-(4-fluorophenyl)methyl]-4-[(3-(1-piperidinyl)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)

L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 859166-95-3 CAPLUS

CN Benzamide, N-[{4-(4-fluoro-3-methoxyphenyl)methyl]-4-[(3-(1-piperidinyl)-1H-indol-1-yl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



• HCl

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:626174 CAPLUS

DOCUMENT NUMBER: 141:307040

TITLE: Discovery of inhibitors of human adipocyte fatty acid-binding protein, a potential type 2 diabetes target

AUTHOR(S): Lehmann, Fredrik; Haile, Sabas; Axen, Eva; Medina, Carmen; Uppenberg, Jonas; Svensson, Stefan; Lundbaek, Thomas; Rondahl, Lena; Barf, Tjeerd

CORPORATE SOURCE: Department of Medicinal Chemistry, Biovitrum AB, Uppsala, SE-751 37, Swed.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14 (17), 4445-4448

CODEN: BMCLB; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:307040

AB Low micromolar human A-FABP inhibitors were found by utilizing a fluorescence polarization assay, x-ray crystallogr. and modeling. The carbazole- and indole-based inhibitors displayed approx. 10-fold preferences over human H-FABP and E-FABP, and are highly selective against I-FABP. This communication describes the SAR for drug-like synthetic inhibitors of human A-FABP.

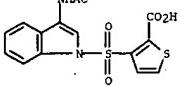
IT 766538-50-5P 766538-58-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery of inhibitors of human adipocyte fatty acid-binding protein, potential type 2 diabetes target)

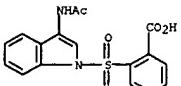
RN 766538-50-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(3-(acetylamino)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



RN 766538-58-3 CAPLUS

CN Benzoic acid, 2-[(3-(acetylamino)-1H-indol-1-yl)sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

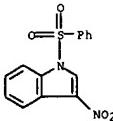
L7 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001478047 CAPLUS
 DOCUMENT NUMBER: 135:257109
 TITLE: Diels-Alder reactions of 2- and 3-nitroindoles. A simple hydroxycarbazole synthesis
 AUTHOR(S): Kishbaugh, T. L. S.; Gribble, G. W.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH 03755, USA
 SOURCE: Tetrahedron Letters (2001), 42(29), 4783-4785
 CODEN: TELEAY ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:257109

AB A Diels-Alder reaction of 3- and 2-nitroindoles with Danishefsky's diene gives the expected 2- and 3-hydroxycarbazoles in very good to excellent yields (73-91%) and with apparent complete regioselectivity.

IT 116325-19-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (Diels Alder reaction with Danishefsky's diene)

RN 116325-19-0 CAPLUS

CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

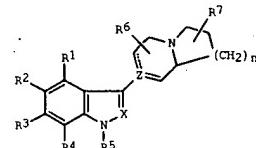


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2001478208 CAPLUS
 DOCUMENT NUMBER: 135:61353
 TITLE: Preparation of bicyclic piperidine and piperazine compounds having 5-HT₆ receptor affinity
 INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok; Qiao, Qi
 PATENT ASSIGNEE(S): Nps Allelix Corp., Can.
 SOURCE: U.S. 29 pp., Cont.-in-part of U.S. Ser. No. 97,008.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6251893	B1	20010626	US 1998-156495	19980918
CA 2335285	A1	19991223	CA 1999-2335285	19990610
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BR, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GD, GR, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LN, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, HR, NE, SN, TD, TG				
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GR, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003523992	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
ES 2209525	T3	20040616	ES 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008	A2 19980615
			US 1998-156495	A 19980918
			WO 1999-CA543	W 19990610

OTHER SOURCE(S): MARPAT 135:61353
 GI



L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

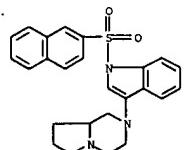
L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 AB Title compds. I [R1-R4 = H, halo, OH, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkanoyl, alkanoyloxy, NO₂, CN, (un)substituted Ph, furyl, thieryl, OPh, NH₂, CONH₂, SO₂NH₂, CH₂SO₂NH₂, CO₂H, NHCHO, NHCH:NH, C:(HN)NH₂, acyl, acyloxy, SCF₃, SO₂CF₃, CHO, CF₃, OCF₃; R₅ = SO₂Ar, COAr, Ar, CH₂Ar; R₆ = H, alkyl, (un)substituted Ph, CH₂Ph; R₇ = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH₂Ph, OPh, OCH₂Ph; n = 1-3; X = CR₈, N; R₈ = H, alkyl, CH₂Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thieryl, furanyl, naphthyl, quinolyl, isoquinolyl were prepared as 5-HT₆ receptor inhibitors for treatment of diseases such as schizophrenia. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) derivative with 2-naphthalenesulfonyl chloride.

At 100 nM this product gave >80% inhibition of the 5-HT₆ receptor and <20% inhibition of the 5-HT_{2A}, 5-HT_{2C}, and 5-HT₇ receptors.

IT 252891-58-0P 252891-59-1P 252891-60-4P
 252891-61-5P 252891-62-6P 252891-63-7P
 252891-64-8P 252891-65-9P 252891-66-0P
 252891-67-1P 252891-68-2P 252891-69-3P
 252891-70-6P 252891-71-7P 252891-72-8P
 252891-73-9P 252891-74-0P 252891-75-1P
 252891-76-2P 252891-77-3P 252891-78-4P
 252891-79-5P 252891-80-8P

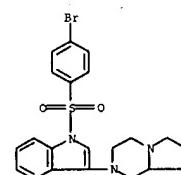
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of bicyclic piperidine and piperazine compds. as 5-HT₆ receptor antagonists)

RN 252891-58-0 CAPLUS
 CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenesulfonyl)- (9CI) (CA INDEX NAME)

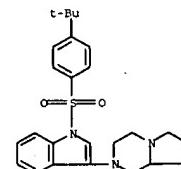


RN 252891-59-1 CAPLUS
 CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(1-naphthalenesulfonyl)- (9CI) (CA INDEX NAME)

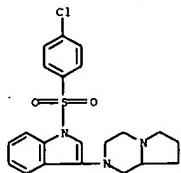
RN 252891-60-4 CAPLUS
 CN 1H-Indole, 1-[1-(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



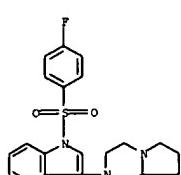
RN 252891-61-5 CAPLUS
 CN 1H-Indole, 1-[1-(4-(1,1-dimethylethyl)phenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



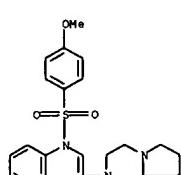
RN 252891-62-6 CAPLUS
 CN 1H-Indole, 1-[1-(4-chlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



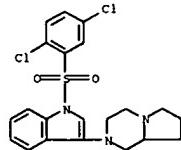
RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



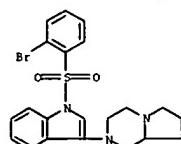
RN 252891-64-8 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



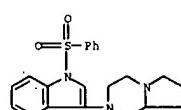
RN 252891-65-9 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



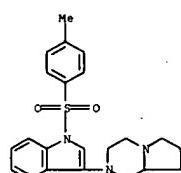
RN 252891-66-0 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



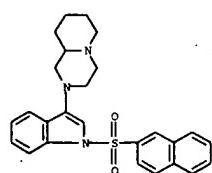
RN 252891-67-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(phenylsulfonyl)sulfonyl]- (9CI) (CA INDEX NAME)



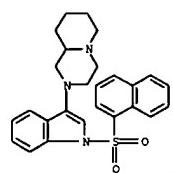
RN 252891-68-2 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



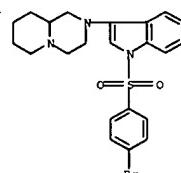
RN 252891-69-3 CAPLUS
CN 1H-Indole, 1-[(2-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



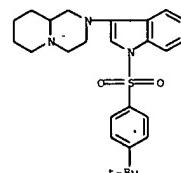
RN 252891-70-6 CAPLUS
CN 1H-Indole, 1-[(1-naphthalenylsulfonyl)-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



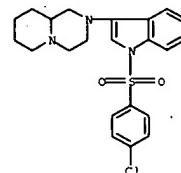
RN 252891-71-7 CAPLUS
CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



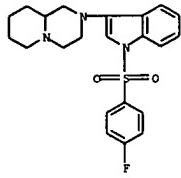
RN 252891-72-8 CAPLUS
CN 1H-Indole, 1-[(4-(1,1-dimethylethyl)phenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



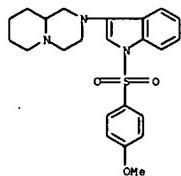
RN 252891-73-9 CAPLUS
CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



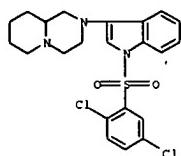
RN 252891-74-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



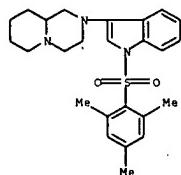
RN 252891-75-1 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



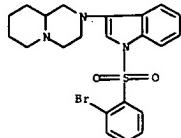
RN 252891-76-2 CAPLUS
CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



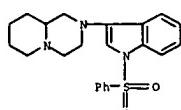
RN 252891-77-3 CAPLUS
CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



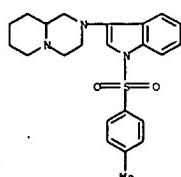
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



RN 252891-78-4 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

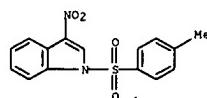


RN 252891-79-5 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



RN 252891-80-8 CAPLUS
CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:327078 CAPLUS
DOCUMENT NUMBER: 135:92508
TITLE: Reactions of 1-Tosyl-3-substituted Indoles with Conjugated Dienes under Thermal and/or High-Pressure Conditions
AUTHOR(S): Biolatto, Beatriz; Kneeteman, Maria; Paredes, Elisa; Mancini, Pedro M. E.
CORPORATE SOURCE: Laboratorio Fester Area de Química Orgánica Departamento de Química Facultad de Ingeniería Química, Universidad Nacional del Litoral, Santa Fe, 3000, Argent.
SOURCE: Journal of Organic Chemistry (2001), 66(11), 3906-3912
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:92508
AB The behavior of 1-tosyl-3-acetylindole, N,N-diethyl-1-tosyl-3-indoleglyoxamide, and 1-tosyl-3-nitroindole as dienophiles in Diels-Alder reactions under thermal and/or high-pressure conditions was explored with different dienes: isoprene, 1-(N-acetyl-N-propylamino)-1,3-butadiene, and 1-methoxy-3-trimethylsilyloxy-1,3-butadiene (Danishefsky's diene). Compared to the acylated indoles, the nitro derivative proved to be the best dienophile. In general, the use of Danishefsky's diene led to high-yielding reactions under milder conditions. Likewise, high-pressure conditions proved to be better in producing high yields of products. The advantage of high-pressure over thermal conditions was the ability of the former to generate highly functionalized adducts in better yields, which were otherwise very difficult or impossible to obtain. The use of thermal or high-pressure conditions led to different regio- and/or stereoselectivity in the adducts, allowing control of the regio- or stereoisomer produced.
IT 228412-76-8
RL RCT (Reactant); RACT (Reactant or reagent)
(Diels-Alder reactions of tosylindoles with conjugated dienes under thermal and/or high-pressure conditions)
RN 228412-76-8 CAPLUS
CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:60499 CAPLUS

DOCUMENT NUMBER: 134:222647

TITLE: Regioselective 1,3-dipolar cycloaddition reactions of unsymmetrical münchnones (1,3-oxazolium-5-olates) with 2- and 3-nitroindoles. A new synthesis of pyrrolo[3,4-b]indoles.
AUTHOR(S): Gribble, Gordon W.; Felkey, Erin T.; Simon, Wendy M.; Trujillo, Hernando A.
CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH 03755, USA
SOURCE: Tetrahedron (2000), 56(52), 10133-10140
CODEN: TETRA; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:222647

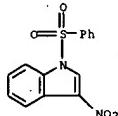
AB Unsym. mesionic münchnones, 2-methyl-4-phenyl- and 4-methyl-2-phenyl-3-benzyl-1,3-oxazolium-5-olate, react with 2-nitroindole-1-carboxylate, 3-nitro-1-(phenylsulfonyl)indole, and 3-nitroindole-1-carboxylate in refluxing THF to afford in good to excellent yields 2-benzyl-1-methyl-3-phenyl-4-carbethoxy-2-benzy1-3-methyl-1-phenyl-4-carbethoxy-2-benzyl-1-methyl-3-phenyl-4-(phenylsulfonyl)- and 2-benzyl-3-methyl-1-phenyl-4-(phenylsulfonyl)-2,4-dihydropyrrrol[3,4-b]indole, resp. In several cases, the regiochemistry, which is opposite to that predicted by FMO theory, is very high and leads essentially to a single pyrrolo[3,4-b]indole.

IT 116325-19-0?

RL: RPT (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[3,4-b]indoles by regioselective 1,3-dipolar cycloaddn. of unsym. münchnones and calculated HOMO/LUMO)

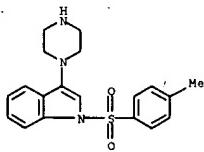
RN 116325-19-0 CAPLUS

CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indole, 1-[4-(methylphenyl)sulfonyl]-3-(1-piperazinyl)- (CA INDEX NAME)



REFERENCE COUNT: 89 THERE ARE 89 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

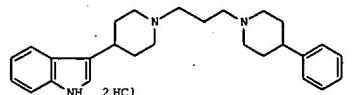
L7 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:911215 CAPLUS

DOCUMENT NUMBER: 134:71490

TITLE: Preparation and effect of indole derivatives as α_{1B}-adrenergic receptor antagonists
INVENTOR(S): Hayashi, Ryoji; Ohmori, Eiji; Isogaya, Masafumi; Morikawa, Mitsuhiro; Kumagai, Hiroki
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 187 pp.
Coden: PIXXDZ

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078716	A1	20001228	WO 2000-JP4068	20000622
W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2341542	A1	20001228	CA 2000-2341542	20000622
AU 2000055670	A	20010109	AU 2000-55670	20000622
EP 1106605	A1	20010613	EP 2000-940789	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6642228	B1	20031104	US 2001-763684	20010413
PRIORITY APPLN. INFO.: JP 1999-178170			JP 1999-178170	A 19990624
OTHER SOURCE(S): MARPAT 134:71490			WO 2000-JP4068	W 20000622
G1				



AB Title compds. [ArBN₁(CO)nAQ] Ar is indole or the like; R1 is hydrogen or the like; B is a bond or B-N-R1 may form a ring structure such as piperidine; n is 0, 1 or the like; A is trimethylene, butylene, or the like; and Q is piperidine, isoindoline, or the like] and pharmacol. acceptable acid addition salts are prepared as α_{1B}-adrenergic receptor antagonists. Title compds. and the salts serve as antagonists having a high affinity for α_{1B}-adrenergic receptor and are useful as drugs to be used in the prevention and/or treatment of α_{1B}-adrenergic receptor-related diseases (such as hypertension) or as pharmacol. tools for the elucidation of physiol. actions occurring through α_{1B}-adrenergic receptor. Thus, the title compound I was prepared and tested.

IT 314083-22-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and effect of indole derivs. as α_{1B}-adrenergic receptor antagonists)

RN 314083-22-2 CAPLUS

L7 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:201423 CAPLUS

DOCUMENT NUMBER: 132:347461

TITLE: Synthesis and analgesic activity of some 1-benzofurans, 1-benzothiophenes and indoles

AUTHOR(S): Radl, Stanislav; Hezky, Petr; Urbankova, Jitka;

CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry,

Prague, 130 60, Czech Rep.

SOURCE: Collection of Czechoslovak Chemical Communications

(2000), 65(2), 280-296

Coden: CCCCAK; ISSN: 0010-0765

PUBLISHER: Institute of Organic Chemistry and Biochemistry,

Academy of Sciences of the Czech Republic

JOURNAL:

LANGUAGE: English

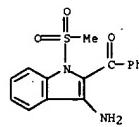
AB 3-Unsubstituted 1-benzofurans, 3-methyl-1-benzofurans, and 3-amino-1-benzofurans, as well as 3-amino-1-benzothiophenes and 3-aminoindoles were prepared and tested as analgesics. 3-Amino-1-benzofurans were prepared from the corresponding 2-hydroxybenzonitrile and phenacyl bromides. Similar treatment of 2-sulfanylbenzonitrile provided 3-amino-1-benzothiophenes. Appropriately substituted 2-aminobenzonitriles then provided N-substituted 3-aminoindoles. 1-(Ethoxycarbonyl)indoles were successfully deprotected giving indoles.

IT 269075-58-3P 269075-59-4P 269075-60-7P

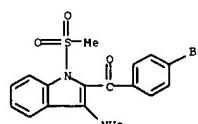
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 269075-58-3 CAPLUS

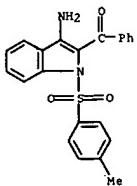
CN 1H-Indol-3-amine, 2-benzoyl-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



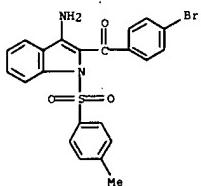
RN 269075-59-4 CAPLUS
CN 1H-Indol-3-amine, 2-benzoyl-1-(4-methylphenyl)sulfonyl- (9CI) (CA INDEX NAME)



RN 269075-60-7 CAPLUS
CN 1H-Indol-3-amine, 2-benzoyl-1-(4-methylphenyl)sulfonyl- (9CI) (CA INDEX NAME)



RN 269075-61-8 CAPLUS
CN 1H-Indole-1-amine, 2-(4-bromobenzoyl)-1-[4-methylphenylsulfonyl]- (9CI) (CA INDEX NAME)



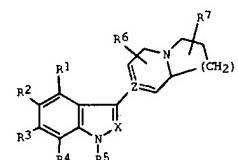
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
ACCESION NUMBER: 1999-811242 CAPLUS
DOCUMENT NUMBER: 13249982
TITLE: Bicyclic piperidine and piperazine compounds having SHT6 receptor affinity
INVENTOR(S): Maddaford, Shawn; Xin, Tao; Slassi, Abdelmalik; Tehim, Ashok
PATENT ASSIGNEE(S): Alalix Biopharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIIXDZ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965906	A1	19991223	WO 1999-CA543	19990610
W: AE, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LX, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, WZ, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, HL, HR, NE, SN, TD, TG				
US 6251893	B1	20010626	US 1998-156495	19980918
CA 235285	A1	19991223	CA 1999-2335285	19990610
AU 9942531	A	20000105	AU 1999-42531	19990610
AU 765256	B2	20030911		
EP 1105393	A1	20010613	EP 1999-957059	19990610
EP 1105393	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 200352392	T	20030812	JP 2000-554731	19990610
AT 251163	T	20031015	AT 1999-957059	19990610
MX 2000PA12561	A	20020424	MX 2000-PA12561	20001215
PRIORITY APPLN. INFO.:			US 1998-97008	A 19980615
			US 1998-156495	A 19980918
			WO 1999-CA543	W 19990610

OTHER SOURCE(S): MARPAT 132:49982

GI



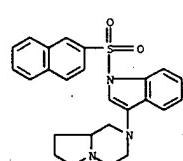
AB Title compds. I [R1-R4 = H, halo, OH, alkyl, alkoxy, alkynyl, cycloalkyl, cycloalkoxy, cycloalkylthio, alkancyl, alkancyoxy, NO₂, CN, (un)substituted Ph, furyl, thiienyl, OPh, NH₂, SO₂NH₂, CH₂SO₂NH₂,

L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN CO₂H, NHCHO, NHCH(NH)NH₂, acyl, acyloxy, SCF₃, CHO, CF₃, OCFS₂; R₅ = SO₂Ar, COAr, Ar, CH₂Ar; R₆ = H, alkyl, (un)substituted Ph, CH₂Ph; R₇ = H, alkyl, alkoxy, alkylthio, (un)substituted Ph, CH₂Ph, OPh, OCH₂Ph, n = 1-3; X = CR₈, N; R₈ = H, alkyl, CH₂Ph; Z = C, CH, N; Ar = (un)substituted Ph, pyridyl, thiienyl, furanyl, naphthyl, quinolyl, isoquinolyl were prep'd. for use as inhibitors of the 5-HT₆ receptor. Thus, 1-acetyl-3-indolinone was treated with 1,4-diazabicyclo[4.3.0]nonane and deacetylated to give 3-(1,4-diazabicyclo[4.3.0]non-4-yl)-1H-indole which was converted to the 1-(2-naphthalenesulfonyl) deriv. with 2-naphthalenesulfonyl chloride. At 100 nM this product gave >80% inhibition of the 5-HT₆ receptor and <20% inhibition of the 5-HT_{2A}, 5-HT_{2C}, and 5-HT₇ receptors.

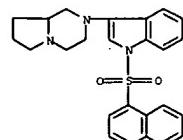
IT 252891-58-0P 252891-59-1P 252891-60-4P
252891-61-5P 252891-62-6P 252891-63-7P
252891-64-8P 252891-65-9P 252891-66-0P
252891-67-1P 252891-68-2P 252891-69-3P
252891-70-6P 252891-71-7P 252891-72-8P
252891-73-9P 252891-74-0P 252891-75-1P
252891-76-2P 252891-77-3P 252891-78-4P
252891-79-5P 252891-80-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of bicyclic piperidine and piperazine compds. as SHT6 receptor antagonists)

RN 252891-58-0 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(2-naphthalenesulfonyl)- (9CI) (CA INDEX NAME)

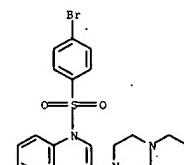


RN 252891-59-1 CAPLUS
CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-(1-naphthalenesulfonyl)- (9CI) (CA INDEX NAME)

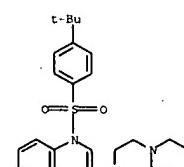


L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

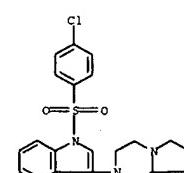
RN 252891-60-4 CAPLUS
CN 1H-Indole, 1-[4-(4-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



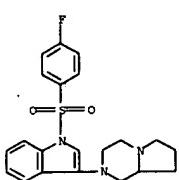
RN 252891-61-5 CAPLUS
CN 1H-Indole, 1-[4-(1,1-dimethylethyl)phenylsulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



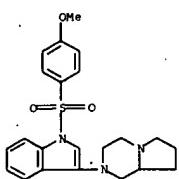
RN 252891-62-6 CAPLUS
CN 1H-Indole, 1-[4-chlorophenylsulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



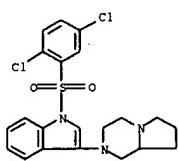
RN 252891-63-7 CAPLUS
CN 1H-Indole, 1-[4-fluorophenylsulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-



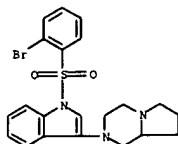
RN 252891-64-8 CAPLUS
 CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



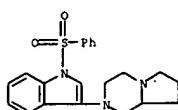
RN 252891-65-9 CAPLUS
 CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



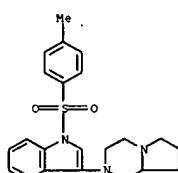
RN 252891-66-0 CAPLUS
 CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)- (9CI) (CA INDEX NAME)



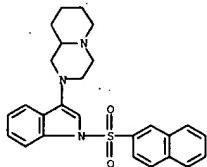
RN 252891-67-1 CAPLUS
 CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(phenyl)sulfonyl]- (9CI) (CA INDEX NAME)



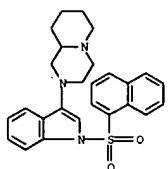
RN 252891-68-2 CAPLUS
 CN 1H-Indole, 3-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



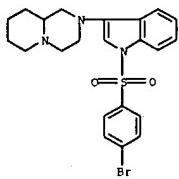
RN 252891-69-3 CAPLUS
 CN 1H-Indole, 1-[(2-naphthalenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



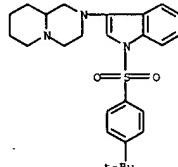
RN 252891-70-6 CAPLUS
 CN 1H-Indole, 1-[(1-naphthalenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



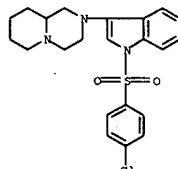
RN 252891-71-7 CAPLUS
 CN 1H-Indole, 1-[(4-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



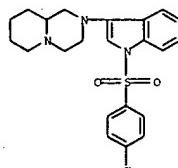
RN 252891-72-8 CAPLUS
 CN 1H-Indole, 1-[(4-(1,1-dimethylethyl)phenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



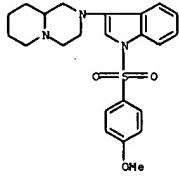
RN 252891-73-9 CAPLUS
 CN 1H-Indole, 1-[(4-chlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



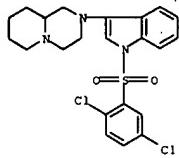
RN 252891-74-0 CAPLUS
 CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



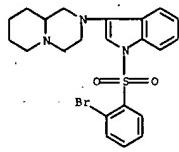
RN 252891-75-1 CAPLUS
 CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



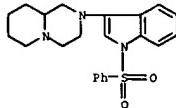
RN 252891-76-2 CAPLUS
 CN 1H-Indole, 1-[(2,5-dichlorophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



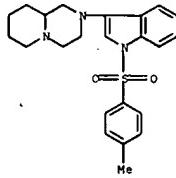
RN 252891-77-3 CAPLUS
 CN 1H-Indole, 1-[(2-bromophenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)



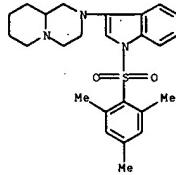
RN 252891-78-4 CAPLUS
 CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 252891-79-5 CAPLUS
 CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)- (9CI) (CA INDEX NAME)

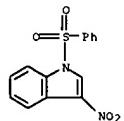


RN 252891-80-8 CAPLUS
 CN 1H-Indole, 3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

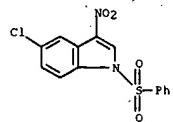


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

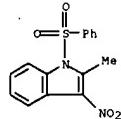
L7 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999:447537 CAPLUS
 DOCUMENT NUMBER: 131:214155
 TITLE: Synthesis and reactions of N-protected 3-nitroindoles
 AUTHOR(S): Pelkey, Erin T.; Gribble, Gordon W.
 CORPORATE SOURCE: Dep. Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Synthesis (1999), (7), 1117-1122
 CODEN: SYNTBF; ISSN: 0039-7881
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 131:214155
 AB Treatment of N-protected indoles with AcNO₃ generated in situ at low temps. affords the corresponding 3-nitroindoles in good to excellent yields. Deprotection of 1-acetyl-3-nitroindole with DBU gives 3-nitroindole. Reaction of 5-chloro-3-nitro-1-(phenylsulfonyl)indole with CNCH₂CO₂Et and base affords the rearranged 5-chloro-1,8-dihydro-8-(phenylsulfonyl)pyrrolo[2,3-b]indole-2-carboxylate. In contrast, treatment of 3-nitro-1-indolecarboxylates with CNCH₂CO₂Et and base affords the expected pyrrolo[3,4-b]indoles, products of a normal Barton-Zard pyrrole synthesis.
 IT 116325-19-OP 243454-85-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reactions of N-protected nitroindoles)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



RN 243454-85-5 CAPLUS
 CN 1H-Indole, 5-chloro-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

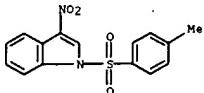


IT 243454-84-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and reactions of N-protected nitroindoles)
 RN 243454-84-4 CAPLUS
 CN 1H-Indole, 2-methyl-3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

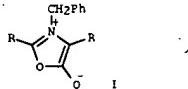


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

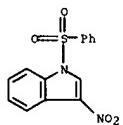
L7 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999:295975 CAPLUS
 DOCUMENT NUMBER: 131:59010
 TITLE: Diels-Alder reactions of N-tosyl-3-nitroindole and dienamides: synthesis of intermediates of Aspidospermine alkaloids
 AUTHOR(S): Bielatto, Betina; Kneeteman, Maria; Mancini, Pedro
 CORPORATE SOURCE: Departamento de Química Orgánica, Facultad de Ingeniería Química, Universidad Nacional del Litoral, Santa Fe 3000, Argent.
 SOURCE: Tetrahedron Letters (1999), 40(17), 3343-3346
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal Article
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 131:59010
 AB N-tosyl-3-nitroindole undergoes high yielding Diels-Alder reactions with 1-(N-acyl-N-alkylamino)-1,3-butadienes in a regioselective manner, to afford advanced intermediates for the synthesis of Aspidospermine alkaloids.
 IT 228412-76-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of aspidospermine alkaloid intermediates via Diels-Alder reaction of tosylindole and dienamides)
 RN 228412-76-8 CAPLUS
 CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)



L7 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1998:682658 CAPLUS
 DOCUMENT NUMBER: 130:3783
 TITLE: New syntheses of pyrrolo[3,4-b]indoles, benzo[b]furan[2,3-c]pyrroles, and benzo[b]thieno[2,3-c]pyrroles. Utilizing the reaction of muenchones (1,3-oxazolium-5-olates) with nitro heterocycles
 AUTHOR(S): Gribble, Gordon W.; Pelkey, Erin T.; Switzer, Frank L.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Synlett (1998), (10), 1061-1062
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal Article
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 130:3783
 GI

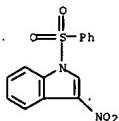


AB 1,3-Dipolar cycloaddn. of muenchones I (R = Ph, Me; mesoionic 1,3-oxazolium-5-olates) with 2- and 3-nitroindoles, 2-nitrobenzo[b]furan, and 3-nitrobenzo[b]thiophene affords the corresponding pyrrolo[3,4-b]indoles, benzo[b]furan[2,3-c]pyrroles, and benzo[b]thieno[2,3-c]pyrroles, resp., in 1 operation in good to excellent yields.
 IT 116325-19-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pyrrolo[3,4-b]indoles, benzo[b]furan[2,3-c]pyrroles, and benzo[b]thieno[2,3-c]pyrroles from muenchones and nitro heterocycles)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



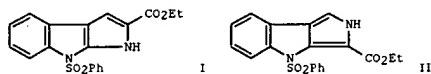
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:707284 CAPLUS
 DOCUMENT NUMBER: 127:346316
 TITLE: One-step syntheses of the pyrrolo[3,4-b]indole and pyrrolo[2,3-b]indole ring systems from 3-nitroindoles
 AUTHOR(S): Pelkey, Erin T.; Gribble, Gordon W.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Chemical Communications (Cambridge) (1997), (19), 1873-1874
 CODEN: CHCOFS; ISSN: 1359-7345
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal Article
 LANGUAGE: English
 AB The reaction of 1-ethoxycarbonyl-3-nitroindole with Et isocyanoacetate in the presence of DBU gives Et 4-ethoxycarbonyl-2,4-dihydropyrrolo[3,4-b]indole-3-carboxylate, averting a novel rearrangement that we previously reported with 3-nitro-1-phenylsulfonylindole.
 IT 116325-19-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (one-step preparation of pyrroloindoles)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

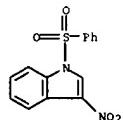


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

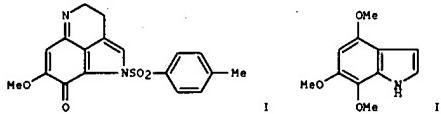
L7 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1996:528949 CAPLUS
 DOCUMENT NUMBER: 125:275752
 TITLE: An abnormal Barton-Zard reaction leading to the pyrrolo[2,3-b]indole ring system
 AUTHOR(S): Pelkey, Erin T.; Chang, Louis; Gribble, Gordon W.
 CORPORATE SOURCE: Department of Chemistry, Dartmouth College, Hanover, NH, 03755, USA
 SOURCE: Chemical Communications (Cambridge) (1996), (16), 1909-1910
 CODEN: CHCOFS; ISSN: 1359-7345
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal Article
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 125:275752
 GI



AB The reaction of 3-nitro-N-(phenylsulfonyl)indole with Et isocyanoacetate under the Barton-Zard pyrrole synthesis conditions gives Et 8-(phenylsulfonyl)-1,8-dihydropyrrolo[2,3-b]indole-2-carboxylate I rather than the anticipated Et 4-(phenylsulfonyl)-2,4-dihydropyrrolo[3,4-b]indole-3-carboxylate II.
 IT 116325-19-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of Et 8-(phenylsulfonyl)-1,8-dihydropyrrolo[2,3-b]indole-2-carboxylate via 3-nitro-N-(phenylsulfonyl)indole and Et isocyanoacetate under Barton-Zard pyrrole synthesis conditions)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)

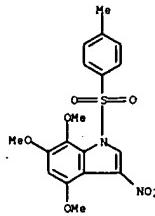


L7 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1995:433559 CAPLUS
 DOCUMENT NUMBER: 122:187848
 TITLE: Efficient Syntheses of the Marine Alkaloids Makaluvamine D and Discorhabdin C: The 4,6,7-Trimethoxyindole Approach
 AUTHOR(S): Sadanandan, Byyani, V.; Pillai, Sasi K.; Lakshminarayanan, M. V.; Billimoria, Adil D.; Culpepper, J. Shane; Cava, Michael P.
 CORPORATE SOURCE: Department of Chemistry, The University of Alabama, Tuscaloosa, AL, 35487-0336, USA
 SOURCE: Journal of Organic Chemistry (1995), 60(6), 1800-5
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 122:187848
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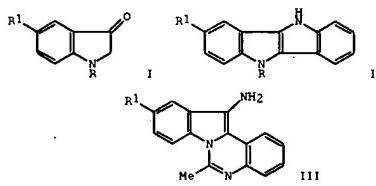


- AB A new and efficient synthesis of the tricyclic quinonimine I as its trifluoroacetate was developed starting from the com. available 2,4,5-trimethoxybenzaldehyde and proceeding via the hitherto unknown 4,6,7-trimethoxyindole II. I trifluoroacetate is the late stage key intermediate in several previously reported syntheses of the biol. active pyrrolo[4,3,2-de]quinoline marine alkaloids discorhabdin C and makaluvamine D.
- IT 161156-13-47
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (efficient syntheses of the marine alkaloids makaluvamine D and discorhabdin C via the trimethoxyindole approach)
- RN 161156-13-4 CAPLUS
- CN 1H-Indole-3,4,6,7-trimethoxy-1-[(4-methylphenyl)sulfonyl]-3-nitro- (CA INDEX NAME)

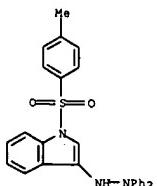
L7 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L7 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:656101 CAPLUS
 DOCUMENT NUMBER: 115:256101
 TITLE: Fischer reaction of 1-acetyl-3-oxo-2,3-dihydroindole and 1-(*p*-toluenesulfonyloxy)-3-oxo-2,3-dihydroindole
 AUTHOR(S): Merour, Jean Yves; Savelon, Laurence
 CORPORATE SOURCE: LCBA, Univ. Orleans, Orleans, 45067, Fr.
 SOURCE: Heterocycles (1991), 32(5), 849-53
 CODEN: HTCYAM; ISSN: 0385-5414
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 115:256101
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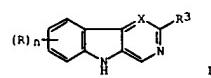
- AB Indole I (*R* = 4-MeC₆H₄SO₂, *R*₁ = H) reacts with PhNHNH₂ in AcOH at reflux for 1 h to give indoloindole II. Under the same conditions I (*R* = Ac, *R*₁ = H, OMe, Cl, Me) reacts with PhNHNH₂ to give indoloquinazolines III.
- IT 136258-58-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
- RN 136258-58-7 CAPLUS
- CN 1H-Indole-3-(2-(2-diphenylhydrazino)-1-[(4-methylphenyl)sulfonyl])- (9CI) (CA INDEX NAME)



L7 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1991:559181 CAPLUS
 DOCUMENT NUMBER: 115:159181
 TITLE: Preparation of β -carboline analogs as central nervous system (CNS) agents
 INVENTOR(S): Huth, Andreas; Krueger, Martin; Rahtz, Dieter; Seidelmann, Dieter; Schmeichen, Ralph; Turski, Lechoslaw; Andrews, John Stewart; Schneider, Herbert Hans
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Ger. Offen., 7 pp.
 CODEN: GWXXBX

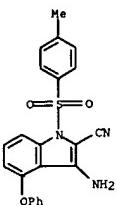
DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3943225	A1	19910627	DE 1989-3943225	19891223
CA 2050917	A1	19910624	CA 1990-2050917	19901219
WO 9109858	A1	19910711	WO 1990-DE982	19901219
W: CA, HU, JP, NO, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE EP 460153	A1	19911211	EP 1991-900736	19901219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE HU 59403	A2	19920528	HU 1991-2769	19901219
JP 04505928	T	19921015	JP 1991-501181	19901219
NO 9103297	A	19910822	NO 1991-3297	19910822
US 5254563	A	19931019	US 1991-773659	19911023
PRIORITY APPLN. INFO.:			DE 1989-3943225	A 19891223
OTHER SOURCE(S):	MARPAT 115:159181		WO 1990-DE982	W 19901219
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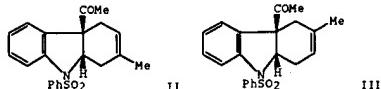


- AB β -Carboline derivs. I [*R* = halo, CHR₁R₂, PH, OR₅; *n* = 1, 2; *R*₁ = H, Cl-4 alkyl; *R*₂ = (substituted) Ph, CH₂Ph or OPh, H, Cl-4 alkyl; Cl-4 alkoxy; *R*₅ = (substituted) Ph, CH₂Ph, or heteroaryl, H, trialkylsilyl, Cl-4 alkyl, Cl-4 cycloalkyl; X = N, CR₄; R₄ = H, Cl-4 alkyl, Cl-4 alkoxyethyl, Cl-4 alkoxyethyl; R₃ = COR₆, CH(OH)R₆; R₆ = C₃-10 cycloalkyl or bicycloalkyl, (substituted) aryl or heteroaryl], useful as benzodiazepine receptor agonists and/or antagonists (no data), were prepared. Thus, 6-benzoyloxy-4-methoxymethyl-9-tosyl- β -carboline-3-carboxylic acid iso-Pr ester in absolute THF at -60° was treated with 1.08 M PhLi in Et₂O/hexane and the resulting solution was stirred 1 h at -60°. The solution was warmed to room temperature, stirred 3 h, then acidified by HCl to give 6-benzoyloxy-4-methoxymethyl-3-benzoyl- β -carboline.
- IT 136305-16-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for CNS agents)
- RN 136305-16-3 CAPLUS

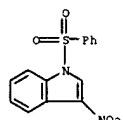
L7 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]-4-phenoxy- (CA INDEX NAME)



L7 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 1989:38833 CAPLUS
 ACCESSION NUMBER:
 110:38833
 DOCUMENT NUMBER:
 110:38833
 TITLE:
Five-membered aromatic heterocycles as dienophiles in Diels-Alder reactions. Furan, pyrrole, and indole
 AUTHOR(S): Wenkert, Ernest; Moeller, Peter D. R.; Piettre, Serge R.
 CORPORATE SOURCE: Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093, USA
 SOURCE: Journal of the American Chemical Society (1988), 110(21), 7188-94
 DOCUMENT TYPE: CODEN: JACSAT; ISSN: 0002-7863
 LANGUAGE: Journal
 OTHER SOURCE(S): English
 CASREACT 110:38833
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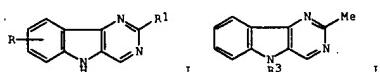
AB Isoprene (I) undergoes high-yielding Diels-Alder reactions with 3-acylfurans, 3-acyl-1-(phenylsulfonyl)pyrroles, and 1,3-acyl-1-(phenylsulfonyl)indoles. The regioselectivity is poor in uncatalyzed reactions; in the presence of AlCl₃ it improves markedly. Thus, the reaction of I with 3-acetyl-2-(phenylsulfonyl)indole gives adducts II and III in a 2:1 ratio in the absence of catalyst. In the presence of AlCl₃ the II:III ratio is 96:4. CH₂:CHCH:CH₂ reacts similarly with 3-acyl-1-(phenylsulfonyl)indoles.
 IT 116325-19-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 RN 116325-19-0 CAPLUS
 CN 1H-Indole, 3-nitro-1-(phenylsulfonyl)- (CA INDEX NAME)



L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1984:591958 CAPLUS
 DOCUMENT NUMBER: 101:191958
 ORIGINAL REFERENCE NO.: 101:29095a, 29096a
 TITLE: Substituted 5H-pyrimido[5,4-b]indoles
 INVENTOR(S): Rahtz, Dieter; Huth, Andreas; Schmiechen, Ralph; Seidelmann, Dieter; Kehr, Wolfgang; Schneider, Herbert Hans
 PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 33 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3246932	A1	19840620	DE 1982-3246932	19821216
EP 115248	A1	19840808	EP 1983-730120	19831212
EP 115248	B1	19900228		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE AT 50580	T	19900315	AT 1983-730120	19831212
DK 80305733	A	19840617	DE 1983-5733	19831213
FI 8304585	A	19840617	FI 1983-4585	19831214
FI 78096	B	19890228		
FI 78096	C	19890612		
NO 8304609	A	19840618	NO 1983-4609	19831214
JP 59130288	A	19840726	JP 1983-236450	19831216
ES 528115	A1	19840801	ES 1983-528115	19831216
US 4564610	A	19860114	US 1983-562248	19831216
ES 529235	A1	19841001	ES 1984-529235	19840127
PRIORITY APPN. INFO.:			DE 1982-3246932	A 19821216
OTHER SOURCE(S):			EP 1983-730120	A 19831212

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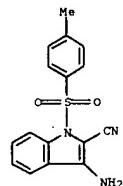
AB Central nervous system active (no data) title compds. (I; R = halo, NO₂, CO₂H, modified CO₂H, R²⁰, R²²(O)n, R² = H, alkyl, cycloalkyl, aralkyl, aryl, amino; n = 0-2; R¹ = H, alkyl, cycloalkyl, aralkyl, aryl, CO₂H, modified CO₂H, amino, R²⁰, R²²(O)n) were prepared. Thus, 3-amino-1-tosylindole-2-carbonitrile was acetylated and the N-acetyl derivative was reductively cyclized by hydrogenation over Raney Ni to give

II (R³ = 4-MeC₆H₄SO₂). This was detosylated by refluxing with Na in EtOH to give II (R³ = H).

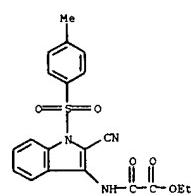
IT 74897-46-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (acylation of)

RN 74897-46-4 CAPLUS
 CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

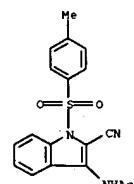
L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



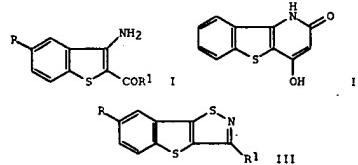
IT 92749-89-8P 92750-45-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reductive cyclization of)
 RN 92749-89-8 CAPLUS
 CN Acetic acid, [(2-cyano-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)amino]oxo-, ethyl ester (SCI) (CA INDEX NAME)



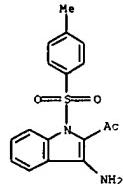
RN 92750-45-3 CAPLUS
 CN Acetamide, N-[2-cyano-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]- (CA INDEX NAME)



L7 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1980:532410 CAPLUS
 DOCUMENT NUMBER: 93:132410
 ORIGINAL REFERENCE NO.: 93:21113a, 21116a
 TITLE: Tricyclic systems obtained from some
 3-aminobenzo[b]thiophene derivatives
 AUTHOR(S): Clarke, Kenneth Fox, William Richard Scrowston,
 Richard M.
 CORPORATE SOURCE: Dep. Chem., Univ. Hull, Hull, HU6 7RX, UK
 SOURCE: Journal of Chemical Research, Synopses (1980), (2), 33
 DOCUMENT TYPE: CODEN: JRPSCD; ISSN: 0308-2342
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 93:132410
 GI



AB The thiophene I (R = H, R1 = OEt) reacted sequentially with CH2(CO2Et)2, NaOMe, and NaOH to give the benzothienopyridine II in 57% overall yield. I (R = H, R1 = H, Me; R = NO2, R1 = Me) treated sequentially with CuSCN/KSCN, NH2OH, and polyphosphoric acid gave the isothiazoles III (R, R1 as before). The nitration, oxidation, and Vilsmeier formylation of III (R = H, R1 = Me) are described.
 IT 74897-45-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 74897-45-3 CAPLUS
 CN 1H-Indol-3-amine, 2-acetyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 74897-46-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 74897-46-4 CAPLUS
 CN 1H-Indole-2-carbonitrile, 3-amino-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

